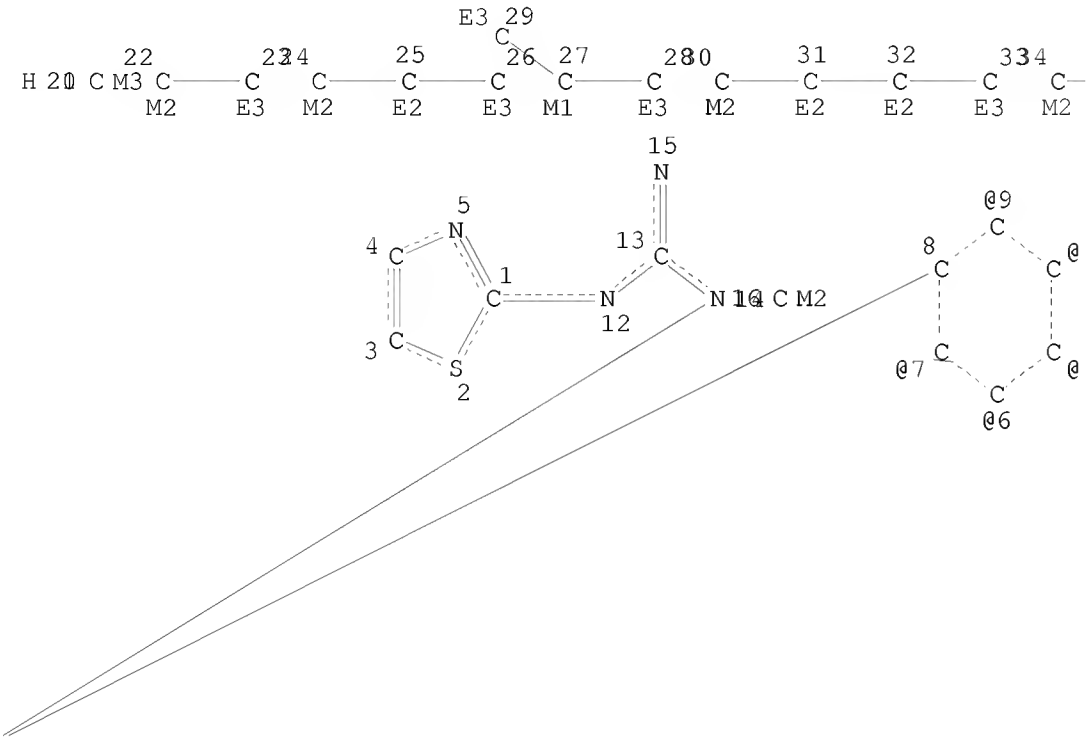
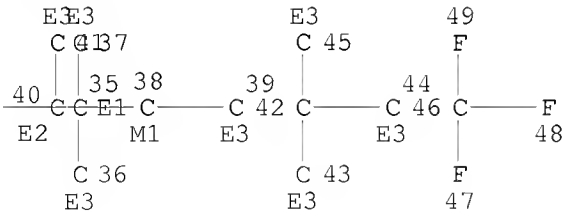
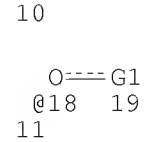
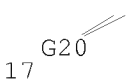
```
=> d his

     (FILE 'HOME' ENTERED AT 10:05:32 ON 19 SEP 2011)

     FILE 'REGISTRY' ENTERED AT 10:05:41 ON 19 SEP 2011
L1               STRUCTURE UPLOADED
L2            14 S L1
L3           245 S L1 FULL

=> d que l3 stat
L1               STR
```



Page 1-A







Page 1-B

Page 2-A

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REP G20=(1-4) 16-14 16-8
VPA 18-6/7/9/10/11 S
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HCOUNT  IS M3     AT  21
HCOUNT  IS M2     AT  22
HCOUNT  IS E3     AT  23
HCOUNT  IS M2     AT  24
HCOUNT  IS E2     AT  25
HCOUNT  IS E3     AT  26
HCOUNT  IS M1     AT  27
HCOUNT  IS E3     AT  28
HCOUNT  IS E3     AT  29
HCOUNT  IS M2     AT  30
HCOUNT  IS E2     AT  31
HCOUNT  IS E2     AT  32
HCOUNT  IS E3     AT  33
HCOUNT  IS M2     AT  34
HCOUNT  IS E1     AT  35
HCOUNT  IS E3     AT  36
HCOUNT  IS E3     AT  37
HCOUNT  IS M1     AT  38
HCOUNT  IS E3     AT  39
HCOUNT  IS E2     AT  40
HCOUNT  IS E3     AT  41
HCOUNT  IS E3     AT  43
HCOUNT  IS E3     AT  44
HCOUNT  IS E3     AT  45
NSPEC   IS R      AT   1
NSPEC   IS R      AT   2
NSPEC   IS R      AT   3
NSPEC   IS R      AT   4
NSPEC   IS R      AT   5
NSPEC   IS R      AT   6
NSPEC   IS R      AT   7
NSPEC   IS R      AT   8
NSPEC   IS R      AT   9
NSPEC   IS R      AT  10
NSPEC   IS R      AT  11
NSPEC   IS C      AT  12
NSPEC   IS C      AT  13
NSPEC   IS C      AT  14
NSPEC   IS C      AT  15
NSPEC   IS C      AT  16
NSPEC   IS C      AT  17
NSPEC   IS C      AT  18
NSPEC   IS C      AT  19
DEFAULT MLEVEL IS ATOM
MLEVEL  IS CLASS  AT  12 13 14 15 16 18 20 21 22 23 24 25 26 27 28 29 30
          31 32 33 34 35 36 37 38 39 40 41 42 43 44 45 46 47 48 49
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  49

STEREO ATTRIBUTES: NONE
L3          245 SEA FILE=REGISTRY SSS FUL L1
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100.0% PROCESSED    1044 ITERATIONS                    245 ANSWERS
SEARCH TIME: 00.00.01


=> s l3 and caplus/lc
      75706153 CAPLUS/LC
L4         176 L3 AND CAPLUS/LC

=> s l3 not l4
L5          69 L3 NOT L4

=> s l5 and ed<2/15/2005
      80740284 ED<2/15/2005
                (ED<20050215)
L6          19 L5 AND ED<2/15/2005

=> d 1-19 ide can
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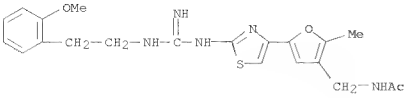
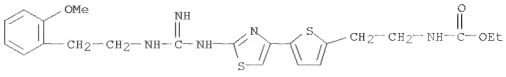
L6 ANSWER 1 OF 19 REGISTRY COPYRIGHT 2011 ACS on STN
RN 791572-19-5 REGISTRY
ED Entered STN: 02 Dec 2004
CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-methyl-3-furanyl]methyl]- (CA INDEX NAME)
MF C21 H25 N5 O3 S
CI COM
SR CA



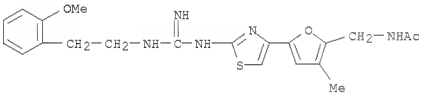
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 ANSWER 2 OF 19 REGISTRY COPYRIGHT 2011 ACS on STN
RN 768339-78-2 REGISTRY
ED Entered STN: 24 Oct 2004
CN Carbamic acid, [2-[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-thienyl]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)
MF C22 H27 N5 O3 S2
CI COM
SR CA



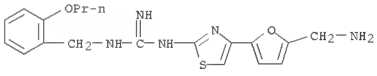
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 ANSWER 3 OF 19 REGISTRY COPYRIGHT 2011 ACS on STN
RN 765256-77-7 REGISTRY
ED Entered STN: 19 Oct 2004
CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-3-methyl-2-furanyl]methyl]- (CA INDEX NAME)
MF C21 H25 N5 O3 S
CI COM
SR CA



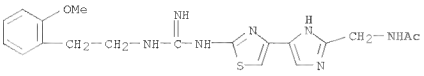
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 ANSWER 4 OF 19 REGISTRY COPYRIGHT 2011 ACS on STN
RN 760150-11-6 REGISTRY
ED Entered STN: 11 Oct 2004
CN Guanidine, N-[4-[5-(aminomethyl)-2-furanyl]-2-thiazolyl]-N'-[(2-propoxyphenyl)methyl]- (CA INDEX NAME)
MF C19 H23 N5 O2 S
CI COM
SR CA



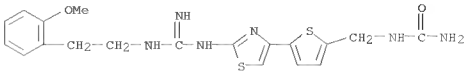
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 ANSWER 5 OF 19 REGISTRY COPYRIGHT 2011 ACS on STN
RN 757934-21-7 REGISTRY
ED Entered STN: 07 Oct 2004
CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-1H-imidazol-2-yl]methyl]- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Acetamide, N-[[4-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-1H-imidazol-2-yl]methyl]- (9CI)
MF C19 H23 N7 O2 S
CI COM
SR CA



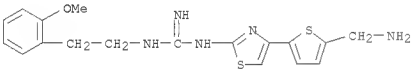
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 ANSWER 6 OF 19 REGISTRY COPYRIGHT 2011 ACS on STN
RN 750550-67-5 REGISTRY
ED Entered STN: 24 Sep 2004
CN Urea, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-thienyl]methyl]- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Urea, [[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-thienyl]methyl]- (9CI)
MF C19 H22 N6 O2 S2
CI COM
SR CA



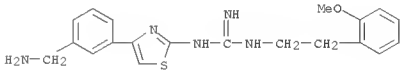
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 ANSWER 7 OF 19 REGISTRY COPYRIGHT 2011 ACS on STN
RN 748749-26-0 REGISTRY
ED Entered STN: 21 Sep 2004
CN Guanidine, N-[4-[5-(aminomethyl)-2-thienyl]-2-thiazolyl]-N'-[2-(2-methoxyphenyl)ethyl]- (CA INDEX NAME)
MF C18 H21 N5 O S2
CI COM
SR CA



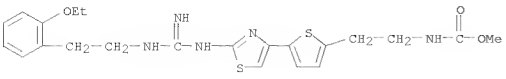
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 ANSWER 8 OF 19 REGISTRY COPYRIGHT 2011 ACS on STN
RN 733720-85-9 REGISTRY
ED Entered STN: 27 Aug 2004
CN Guanidine, N-[4-[3-(aminomethyl)phenyl]-2-thiazolyl]-N'-[2-(2-methoxyphenyl)ethyl]- (CA INDEX NAME)
MF C20 H23 N5 O S
CI COM
SR CA



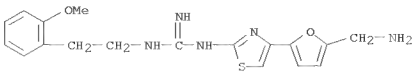
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 ANSWER 9 OF 19 REGISTRY COPYRIGHT 2011 ACS on STN
RN 724418-81-9 REGISTRY
ED Entered STN: 08 Aug 2004
CN Carbamic acid, [2-[5-[2-[[[2-(2-ethoxyphenyl)ethyl]amino]iminomethyl]amino]-4-thiazolyl]-2-thienyl]ethyl]-, methyl ester (9CI) (CA INDEX NAME)
MF C22 H27 N5 O3 S2
CI COM
SR CA



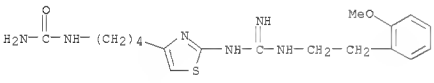
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 ANSWER 10 OF 19 REGISTRY COPYRIGHT 2011 ACS on STN
RN 719992-95-7 REGISTRY
ED Entered STN: 30 Jul 2004
CN Guanidine, N-[4-[5-(aminomethyl)-2-furanyl]-2-thiazolyl]-N'-[2-(2-methoxyphenyl)ethyl]- (CA INDEX NAME)
MF C18 H21 N5 O2 S
CI COM
SR CA



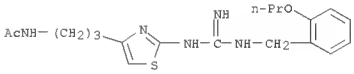
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 ANSWER 11 OF 19 REGISTRY COPYRIGHT 2011 ACS on STN
RN 186686-86-2 REGISTRY
ED Entered STN: 05 Mar 1997
CN Urea, N-[4-[2-[[imino[[2-(2-methoxypheny1)ethy1]amino]methy1]amino]-4-thiazolyl]butyl]- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Urea, [4-[2-[[imino[[2-(2-methoxypheny1)ethy1]amino]methy1]amino]-4-thiazolyl]butyl]- (9CI)
MF C18 H26 N6 O2 S
CI COM
SR CA



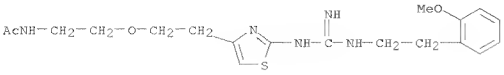
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 ANSWER 12 OF 19 REGISTRY COPYRIGHT 2011 ACS on STN
RN 186686-75-9 REGISTRY
ED Entered STN: 05 Mar 1997
CN Acetamide, N-[3-[2-[[imino[[(2-propoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]propyl]- (CA INDEX NAME)
MF C19 H27 N5 O2 S
CI COM
SR CA



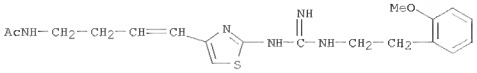
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 ANSWER 13 OF 19 REGISTRY COPYRIGHT 2011 ACS on STN
RN 186686-69-1 REGISTRY
ED Entered STN: 05 Mar 1997
CN Acetamide, N-[2-[2-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]ethoxy]ethyl]- (CA INDEX NAME)
MF C19 H27 N5 O3 S
CI COM
SR CA



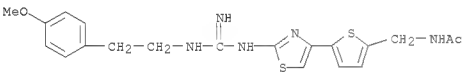
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 ANSWER 14 OF 19 REGISTRY COPYRIGHT 2011 ACS on STN
RN 186686-61-3 REGISTRY
ED Entered STN: 05 Mar 1997
CN Acetamide, N-[4-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-3-buten-1-yl]- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Acetamide, N-[4-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-3-butenyl]- (9CI)
MF C19 H25 N5 O2 S
CI COM
SR CA



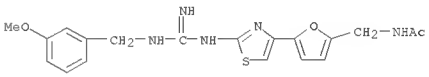
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 ANSWER 15 OF 19 REGISTRY COPYRIGHT 2011 ACS on STN
RN 184581-90-6 REGISTRY
ED Entered STN: 01 Jan 1997
CN Acetamide, N-[[5-[2-[[imino[[2-(4-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-thienyl]methyl]- (CA INDEX NAME)
MF C20 H23 N5 O2 S2
CI COM
SR CA



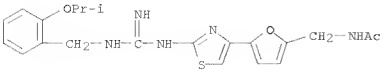
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 ANSWER 16 OF 19 REGISTRY COPYRIGHT 2011 ACS on STN
RN 168970-79-4 REGISTRY
ED Entered STN: 17 Oct 1995
CN Acetamide, N-[[5-[2-[[imino[[(3-methoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)
MF C19 H21 N5 O3 S
CI COM
SR CA



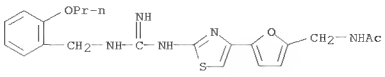
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 ANSWER 17 OF 19 REGISTRY COPYRIGHT 2011 ACS on STN
RN 168970-75-0 REGISTRY
ED Entered STN: 17 Oct 1995
CN Acetamide, N-[[5-[2-[[imino[[[2-(1-methylethoxy)phenyl]methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)
MF C21 H25 N5 O3 S
CI COM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 ANSWER 18 OF 19 REGISTRY COPYRIGHT 2011 ACS on STN
RN 168970-71-6 REGISTRY
ED Entered STN: 17 Oct 1995
CN Acetamide, N-[[5-[2-[[imino[[(2-propoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)
MF C21 H25 N5 O3 S
CI COM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 ANSWER 19 OF 19 REGISTRY COPYRIGHT 2011 ACS on STN
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ED Entered STN: 16 Nov 1984
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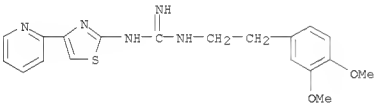
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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=> d his

     (FILE 'HOME' ENTERED AT 10:05:32 ON 19 SEP 2011)

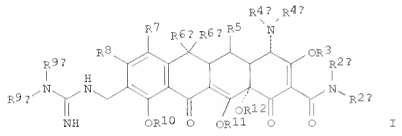
     FILE 'REGISTRY' ENTERED AT 10:05:41 ON 19 SEP 2011
L1             STRUCTURE UPLOADED
L2          14 S L1
L3         245 S L1 FULL
L4         176 S L3 AND CAPLUS/LC
L5          69 S L3 NOT L4
L6          19 S L5 AND ED<2/15/2005

=> fil capl
FILE 'CAPLUS' ENTERED AT 10:09:26 ON 19 SEP 2011
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2011 AMERICAN CHEMICAL SOCIETY (ACS)
```

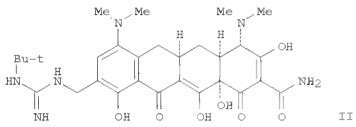
L7 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2010:833835 CAPLUS
DOCUMENT NUMBER: 153:174759
TITLE: Guanidyl neotetrine derivatives useful in the treatment of bacterial infection and their preparation
INVENTOR(S): Huang, Zhenhua; Zhang, Hui; Zhou, Yan; Zhou, Guanglian
PATENT ASSIGNEE(S): KBP Biomedical Co., Ltd., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing, 34 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 101759604	A	20100630	CN 2009-10173214	20090912
PRIORITY APPLN. INFO.:			CN 2009-10173214	20090912

OTHER SOURCE(S): MARPAT 153:174759
GRAPHIC IMAGE:



I

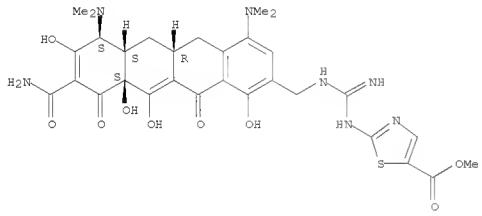


II

ABSTRACT:
The invention is related to guanidyl neotetrine derivs. of formula I useful in the treatment of bacterial infection. Compds. I, wherein R2a, R2b, R3, R10, R11 and R12 are independent H or pro-drug; R5, R6a, R6b and R8 are independent H, SH, halo, OH, etc.; R7 is H, OH, NO2, etc.; R4a, R4b, R8a and 8b are independent H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, etc.; R9a and R9b are independent H, C1-6 alkyl, C1-6 alkoxy, etc.; are claimed. Compound II was prepared by multi-step procedure (procedure given). The invention compds. were evaluated for their antibacterial activity. The guanidyl neotetrine derivs. are used to preparing medicine for treating or preventing tetracycline-sensitive disease.

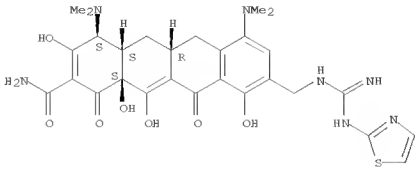
IT **1234478-69-3P** **1234479-13-0P** **1234479-14-1P**

L7 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



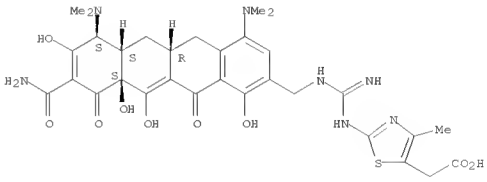
L7 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; synthesis of guanidyl neotetrine derivs. useful in the treatment of bacterial infection)
RN 1234478-69-3 CAPLUS
CN 2-Naphthacenecarboxamide, 4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[[imino(2-thiazolylamino)methyl]amino]methyl]-1,11-dioxo-, (4S,4aS,5aR,12aS)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1234479-13-0 CAPLUS
CN 5-Thiazoleacetic acid, 2-[[[[[[(5aR,6aS,7S,10aS)-9-(aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]methyl]amino]iminomethyl]amino]-4-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 1234479-14-1 CAPLUS
CN 5-Thiazolecarboxylic acid, 2-[[[[[[(5aR,6aS,7S,10aS)-9-(aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]methyl]amino]iminomethyl]amino]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2005:959678 CAPLUS
DOCUMENT NUMBER: 143:266930
TITLE: Guanidine compounds and their use as ligands for 5HT receptors
INVENTOR(S): Netz, Astrid; Amberg, Wilhelm; Lange, Udo; Ochse, Michael; Kling, Andreas; Hutchins, Charles W.; Garcia-Ladona, Francisco-Xavier; Wernet, Wolfgang
PATENT ASSIGNEE(S): Abbott GmbH & Co. Kg, Germany
SOURCE: Ger. Offen., 52 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102004008141	A1	20050901	DE 2004-102004008141	20040219
WO 2005082871	A2	20050909	WO 2005-EP1521	20050215
WO 2005082871	A3	20051110		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1716127	A2	20061102	EP 2005-707406	20050215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS				
JP 2007523113	T	20070816	JP 2006-553516	20050215
JP 4658073	B2	20110323		
MX 2006009434	A	20070321	MX 2006-9434	20060818
US 20070299074	A1	20071227	US 2007-590265	20070614
PRIORITY APPLN. INFO.:			DE 2004-102004008141A	20040219
			WO 2005-EP1521 W	20050215

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 143:266930
GRAPHIC IMAGE:

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

ABSTRACT:
The present invention concerns guanidine compds., e.g., I [R1, R2, R3 = H, OH, CN, (un)substituted C1-6-alkyl, C1-4-alkoxy, C2-6-alkenyl, C3-12-alkynyl, CO-(C1-6-alkyl), CO2-(C1-6-alkyl), etc.; R4, R5 = H, halogen, CN, CF3, CHF2, C1-10-alkyl, Ph, naphthyl, heteroaryl, etc.; R4R5 = (un)substituted 4- to 7-membered ring, optionally containing addnl. O, S, N; Q = Q1, Q2, Q3, Q4, Q5, Q6; W = W1, W2; Z = (CRz1Rz2)a(V)b(CRz3Rz4)c; A, D = NO2, NH2, OH, CN, CF3, OCF3, CHF2, OCHF2, CO2H, OCH2CO2H, halogen, SH, etc.; B = H, A; R' = H, OH, halogen, NO2, NH2, CN, CF3, CHF2, OCF3, OCHF2, (un)substituted C1-6-alkyl, C3-7-cycloaalkyl, (C1-6-alkene)-O-(C1-6-alkyl), C2-6-alkenyl, C3-12-alkynyl, CO-(C1-6-alkyl), CO2-(C1-6-alkyl), SO2-(C1-6-alkyl), etc.; a = 0 - 4; b = 0, 1; c = 0 - 4; Rz1, Rz2, Rz3, Rz4 = H, halogen, OH, etc.; E = O, NRq1, S; V = CO, CONR, NRCO, O, S, SO, SO2, SO2NR, NRSO2, CS, CSNR, NRCS, etc.; Rq1 = H,

L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
Cl-4-alkyl, CO-(Cl-4-alkyl),SO2-(Cl-4-alkyl), CO2-(Cl-4-alkyl), etc.] , their enantiomers, diastereomers and/or tautomeric forms as well as pharmaceutical acceptable salts thereof. Thus, N-(2-methoxybenxyl)-N'-(11,3-thiazol-2-ly)guanidine (II) was prepd. from 2-aminothiazole via reaction with thiocarbonyldiimidazole in MeCN, ammonolysis with NH4OAc in EtOH, N-methylation in MeOH and amidation with 2-MeOC6H4CH2NH2 in EtOH. Further the present compd. concerns the use of guanidine compds. as ligands for 5HT receptors for the treatment of diseases, which are modulated by a 5HT receptor activity, in particular for the treatment of neurodegenerative and neuropsychiatric disturbances as well as the signs, symptoms and malfunctions which are connected with it. The pharmacol. activity off II was detd. [Ki = 50 nM].

IT **863656-40-0P** **863656-41-1P** **863656-42-2P**
863656-44-4P **863656-45-5P** **863656-47-7P**
863656-48-8P **863656-50-2P** **863656-51-3P**
863656-54-6P **863656-55-7P** **863656-56-8P**
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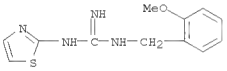
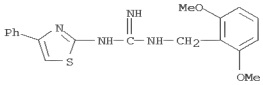
863657-45-8P **863657-46-9P** **863657-47-0P**
863657-48-1P **863657-49-2P** **863657-54-9P**
863657-55-0P **863657-56-1P** **863657-57-2P**
863657-58-3P **863657-59-4P** **863657-60-7P**
863657-61-8P **863657-62-9P** **863657-63-0P**
863657-64-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(guanidine derivs. and their use as ligands for 5HT receptors)

RN 863656-40-0 CAPLUS
CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-2-thiazolyl- (CA INDEX NAME)

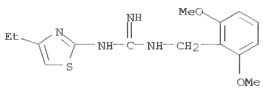


RN 863656-41-1 CAPLUS

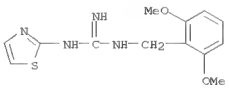
L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-(4-phenyl-2-thiazolyl)- (CA INDEX NAME)



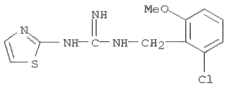
RN 863656-42-2 CAPLUS
CN Guanidine, N'-[(2,6-dimethoxyphenyl)methyl]-N-(4-ethyl-2-thiazolyl)- (CA INDEX NAME)



RN 863656-44-4 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-2-thiazolyl- (CA INDEX NAME)



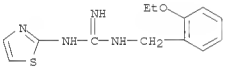
RN 863656-45-5 CAPLUS
CN Guanidine, N-[(2-chloro-6-methoxyphenyl)methyl]-N'-2-thiazolyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

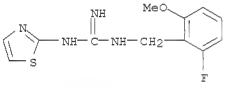
RN 863656-47-7 CAPLUS
CN Guanidine, N-[(2-ethoxyphenyl)methyl]-N'-2-thiazolyl-, hydrochloride (1:1) (CA INDEX NAME)

L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



● HCl

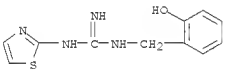
RN 863656-48-8 CAPLUS
CN Guanidine, N-[(2-fluoro-6-methoxyphenyl)methyl]-N'-2-thiazolyl- (CA INDEX NAME)



RN 863656-50-2 CAPLUS
CN Guanidine, N-[(2-hydroxyphenyl)methyl]-N'-2-thiazolyl-, acetate (1:1) (CA INDEX NAME)

CM 1

CRN 863656-49-9
CMF C11 H12 N4 O S

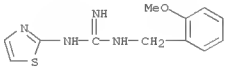


CM 2

CRN 64-19-7
CMF C2 H4 O2

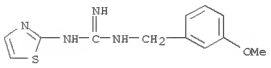
RN 863656-51-3 CAPLUS
CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-2-thiazolyl-, hydrochloride (1:1) (CA INDEX NAME)

L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



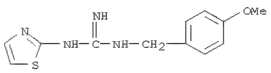
● HCl

RN 863656-54-6 CAPLUS
CN Guanidine, N-[(3-methoxyphenyl)methyl]-N'-2-thiazolyl-, hydrochloride (1:1) (CA INDEX NAME)

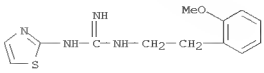


● HCl

RN 863656-55-7 CAPLUS
CN Guanidine, N-[(4-methoxyphenyl)methyl]-N'-2-thiazolyl- (CA INDEX NAME)



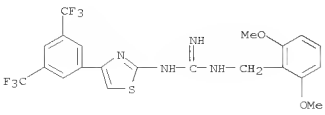
RN 863656-56-8 CAPLUS
CN Guanidine, N-[2-(2-methoxyphenyl)ethyl]-N'-2-thiazolyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

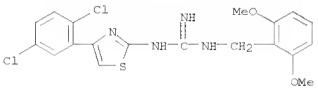
RN 863656-59-1 CAPLUS
CN Guanidine, N-[4-[3,5-bis(trifluoromethyl)phenyl]-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)

L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



● HBr

RN 863656-61-5 CAPLUS
CN Guanidine, N-[4-(2,5-dichlorophenyl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)

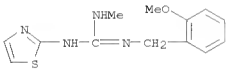


● HBr

RN 863656-67-1 CAPLUS
CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-methyl-N''-2-thiazolyl-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 863656-66-0
CMF C13 H16 N4 O S

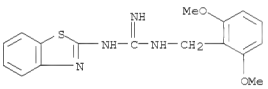


CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

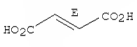
L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

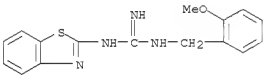
Double bond geometry as shown.



RN 863656-74-0 CAPLUS
CN Guanidine, N-2-benzothiazolyl-N'-[(2-methoxyphenyl)methyl]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

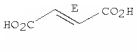
CRN 863656-73-9
CMF C16 H16 N4 O S



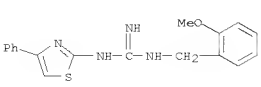
CM 2

CRN 110-17-8
CMF C4 H4 O4

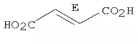
Double bond geometry as shown.



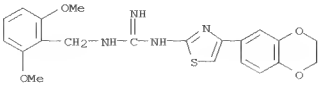
RN 863656-79-5 CAPLUS
CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-(4-phenyl-2-thiazolyl)- (CA INDEX NAME)



L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



RN 863656-68-2 CAPLUS
CN Guanidine, N-[4-(2,3-dihydro-1,4-benzodioxin-6-yl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)

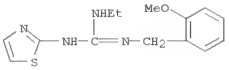


● HBr

RN 863656-70-6 CAPLUS
CN Guanidine, N-ethyl-N'-[(2-methoxyphenyl)methyl]-N''-2-thiazolyl-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

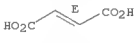
CRN 863656-69-3
CMF C14 H18 N4 O S



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



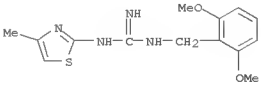
RN 863656-72-8 CAPLUS
CN Guanidine, N-2-benzothiazolyl-N'-[(2,6-dimethoxyphenyl)methyl]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

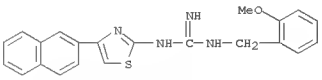
CRN 863656-71-7
CMF C17 H18 N4 O2 S

L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

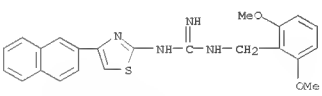
RN 863656-80-8 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-(4-methyl-2-thiazolyl)- (CA INDEX NAME)



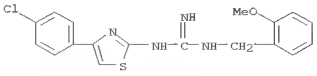
RN 863656-81-9 CAPLUS
CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-[4-(2-naphthalenyl)-2-thiazolyl]- (CA INDEX NAME)



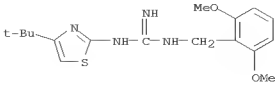
RN 863656-82-0 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(2-naphthalenyl)-2-thiazolyl]- (CA INDEX NAME)



RN 863656-83-1 CAPLUS
CN Guanidine, N-[4-(4-chlorophenyl)-2-thiazolyl]-N'-[(2-methoxyphenyl)methyl]- (CA INDEX NAME)



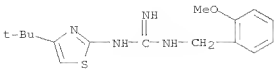
RN 863656-84-2 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(1,1-dimethylethyl)-2-thiazolyl]- (CA INDEX NAME)



L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
RN 863656-86-4 CAPLUS
CN Guanidine, N-[4-(1,1-dimethylethyl)-2-thiazolyl]-N'-[(2-methoxyphenyl)methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

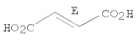
CRN 863656-85-3
CMF C16 H22 N4 O S



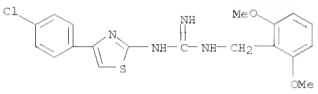
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



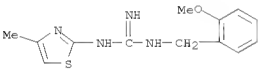
RN 863656-87-5 CAPLUS
CN Guanidine, N-[4-(4-chlorophenyl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]- (CA INDEX NAME)



RN 863656-89-7 CAPLUS
CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-(4-methyl-2-thiazolyl)-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

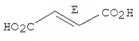
CM 1

CRN 863656-88-6
CMF C13 H16 N4 O S



L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
CMF C4 H4 O4

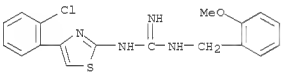
Double bond geometry as shown.



RN 863656-95-5 CAPLUS
CN Guanidine, N-[4-(2-chlorophenyl)-2-thiazolyl]-N'-[(2-methoxyphenyl)methyl]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

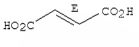
CRN 863656-94-4
CMF C18 H17 Cl N4 O S



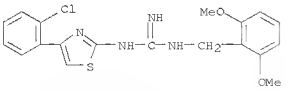
CM 2

CRN 110-17-8
CMF C4 H4 O4

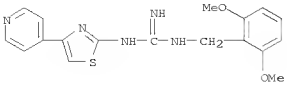
Double bond geometry as shown.



RN 863656-96-6 CAPLUS
CN Guanidine, N-[4-(2-chlorophenyl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]- (CA INDEX NAME)



RN 863656-97-7 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(4-pyridinyl)-2-thiazolyl]- (CA INDEX NAME)

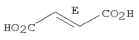


L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

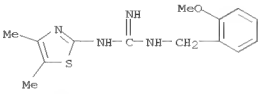
CM 2

CRN 110-17-8
CMF C4 H4 O4

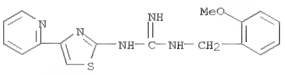
Double bond geometry as shown.



RN 863656-90-0 CAPLUS
CN Guanidine, N-(4,5-dimethyl-2-thiazolyl)-N'-[(2-methoxyphenyl)methyl]- (CA INDEX NAME)



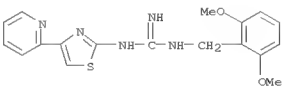
RN 863656-91-1 CAPLUS
CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-[4-(2-pyridinyl)-2-thiazolyl]- (CA INDEX NAME)



RN 863656-93-3 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(2-pyridinyl)-2-thiazolyl]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

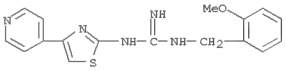
CRN 863656-92-2
CMF C18 H19 N5 O2 S



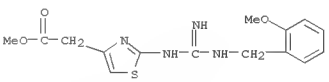
CM 2

CRN 110-17-8

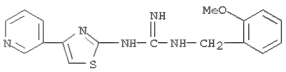
L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
RN 863656-98-8 CAPLUS
CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-[4-(4-pyridinyl)-2-thiazolyl]- (CA INDEX NAME)



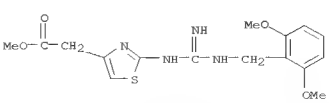
RN 863656-99-9 CAPLUS
CN 4-Thiazoleacetic acid, 2-[[imino[[(2-methoxyphenyl)methyl]amino]methyl]amino]-, methyl ester (CA INDEX NAME)



RN 863657-00-5 CAPLUS
CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-[4-(3-pyridinyl)-2-thiazolyl]- (CA INDEX NAME)



RN 863657-01-6 CAPLUS
CN 4-Thiazoleacetic acid, 2-[[[[(2,6-dimethoxyphenyl)methyl]amino]iminomethyl]amino]-, methyl ester (CA INDEX NAME)

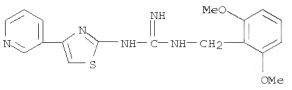


RN 863657-03-8 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(3-pyridinyl)-2-thiazolyl]-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 863657-02-7
CMF C18 H19 N5 O2 S

L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



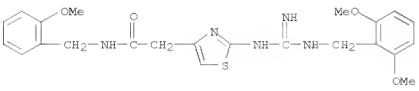
CM 2

CRN 64-19-7
CMF C2 H4 O2

RN 863657-05-0 CAPLUS
CN 4-Thiazoleacetamide, 2-[[amino[[(2,6-dimethoxyphenyl)methyl]amino]methylene]amino]-N-[(2-methoxyphenyl)methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

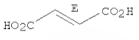
CRN 863657-04-9
CMF C23 H27 N5 O4 S



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

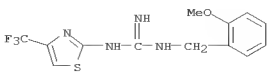


RN 863657-07-2 CAPLUS
CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-[4-(trifluoromethyl)-2-thiazolyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 863657-06-1

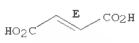
L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
CMF C13 H13 F3 N4 O S



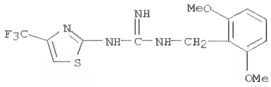
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



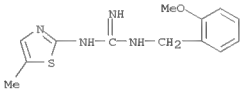
RN 863657-08-3 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(trifluoromethyl)-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 863657-10-7 CAPLUS
CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-(5-methyl-2-thiazolyl)-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

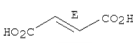
CRN 863657-09-4
CMF C13 H16 N4 O S



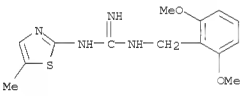
CM 2

CRN 110-17-8
CMF C4 H4 O4

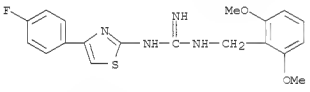
L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
Double bond geometry as shown.



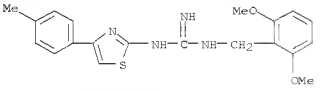
RN 863657-11-8 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-(5-methyl-2-thiazolyl)- (CA INDEX NAME)



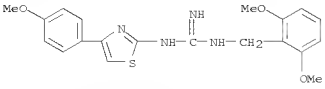
RN 863657-12-9 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(4-fluorophenyl)-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 863657-13-0 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(4-methylphenyl)-2-thiazolyl]- (CA INDEX NAME)

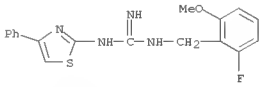


RN 863657-14-1 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(4-methoxyphenyl)-2-thiazolyl]- (CA INDEX NAME)

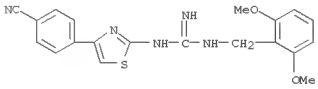


RN 863657-15-2 CAPLUS
CN Guanidine, N-[(2-fluoro-6-methoxyphenyl)methyl]-N'-(4-phenyl-2-thiazolyl)- (CA INDEX NAME)

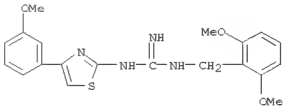
L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



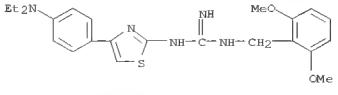
RN 863657-16-3 CAPLUS
CN Guanidine, N-[4-(4-cyanophenyl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]- (CA INDEX NAME)



RN 863657-17-4 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(3-methoxyphenyl)-2-thiazolyl]- (CA INDEX NAME)

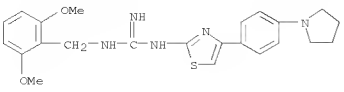


RN 863657-18-5 CAPLUS
CN Guanidine, N-[4-[4-(diethylamino)phenyl]-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]- (CA INDEX NAME)



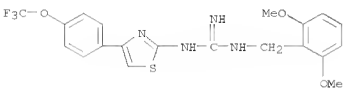
RN 863657-19-6 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-[4-(1-pyrrolidinyl)phenyl]-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)

L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



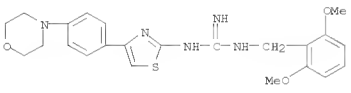
● HBr

RN 863657-20-9 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-[4-(trifluoromethoxy)phenyl]-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

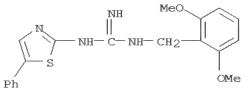
RN 863657-21-0 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-[4-(4-morpholinyl)phenyl]-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

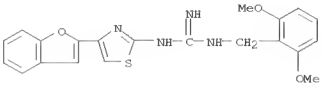
RN 863657-22-1 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-(5-phenyl-2-thiazolyl)-, hydrobromide (1:1) (CA INDEX NAME)

L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



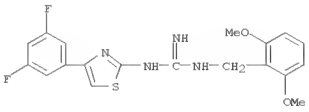
● HBr

RN 863657-23-2 CAPLUS
CN Guanidine, N-[4-(2-benzofuranyl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)



● HBr

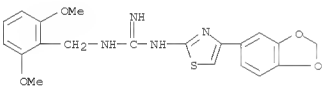
RN 863657-24-3 CAPLUS
CN Guanidine, N-[4-(3,5-difluorophenyl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)



● HBr

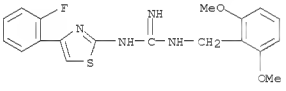
RN 863657-25-4 CAPLUS
CN Guanidine, N-[4-(1,3-benzodioxol-5-yl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)

L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



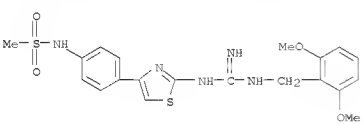
● HBr

RN 863657-26-5 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(2-fluorophenyl)-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 863657-27-6 CAPLUS
CN Methanesulfonamide, N-[4-[2-[[[[(2,6-dimethoxyphenyl)methyl]amino]iminomethyl]amino]-4-thiazolyl]phenyl]-, hydrobromide (1:1) (CA INDEX NAME)



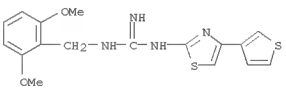
● HBr

RN 863657-29-8 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(3-thienyl)-2-thiazolyl]-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 863657-28-7
CMF C17 H18 N4 O2 S2

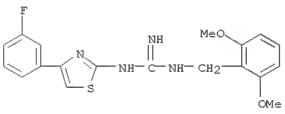
L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



CM 2

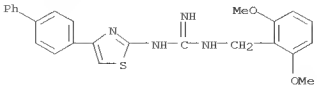
CRN 64-19-7
CMF C2 H4 O2

RN 863657-30-1 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(3-fluorophenyl)-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

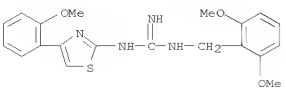
RN 863657-31-2 CAPLUS
CN Guanidine, N-(4-[1,1'-biphenyl]-4-yl-2-thiazolyl)-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)



● HBr

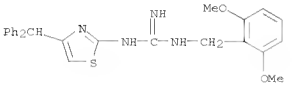
RN 863657-32-3 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(2-methoxyphenyl)-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)

L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



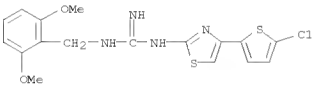
● HBr

RN 863657-33-4 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(diphenylmethyl)-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

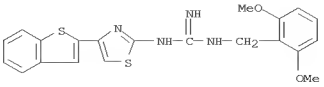
RN 863657-34-5 CAPLUS
CN Guanidine, N-[4-(5-chloro-2-thienyl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)



● HBr

RN 863657-35-6 CAPLUS
CN Guanidine, N-(4-benzo[b]thien-2-yl-2-thiazolyl)-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)

L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

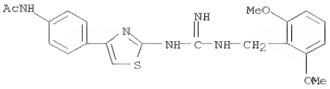


● HBr

RN 863657-37-8 CAPLUS
CN Acetamide, N-[4-[2-[[[[(2,6-dimethoxyphenyl)methyl]amino]iminomethyl]amino]-4-thiazolyl]phenyl]-, acetate (1:1) (CA INDEX NAME)

CM 1

CRN 863657-36-7
CMF C21 H23 N5 O3 S

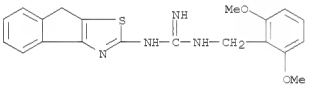


CM 2

CRN 64-19-7
CMF C2 H4 O2

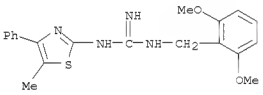
RN 863657-38-9 CAPLUS
CN Guanidine, N'-[(2,6-dimethoxyphenyl)methyl]-N-8H-indeno[1,2-d]thiazol-2-yl-, hydrobromide (1:1) (CA INDEX NAME)

L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



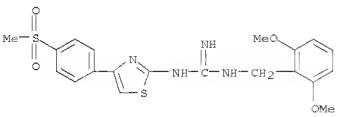
● HBr

RN 863657-39-0 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-(5-methyl-4-phenyl-2-thiazolyl)-, hydrobromide (1:1) (CA INDEX NAME)



● HBr

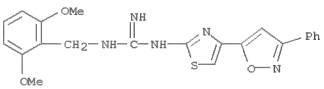
RN 863657-40-3 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-[4-(methylsulfonyl)phenyl]-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

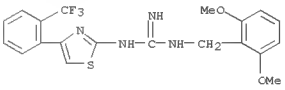
RN 863657-41-4 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(3-phenyl-5-isoxazolyl)-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)

L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



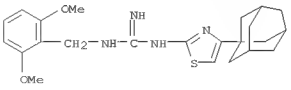
● HBr

RN 863657-42-5 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-[2-(trifluoromethyl)phenyl]-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)



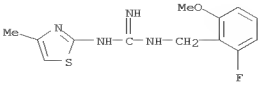
● HBr

RN 863657-43-6 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-(4-tricyclo[3.3.1.13,7]dec-1-yl-2-thiazolyl)-, hydrobromide (1:1) (CA INDEX NAME)



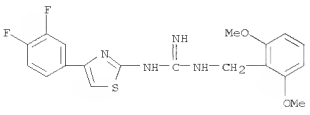
● HBr

RN 863657-44-7 CAPLUS
CN Guanidine, N-[(2-fluoro-6-methoxyphenyl)methyl]-N'-(4-methyl-2-thiazolyl)- (CA INDEX NAME)



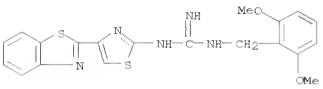
RN 863657-45-8 CAPLUS
CN Guanidine, N-[4-(3,4-difluorophenyl)-2-thiazolyl]-N'-[(2,6-

L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)



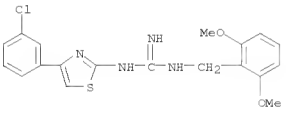
● HBr

RN 863657-46-9 CAPLUS
CN Guanidine, N-[4-(2-benzothiazolyl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)



● HBr

RN 863657-47-0 CAPLUS
CN Guanidine, N-[4-(3-chlorophenyl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)

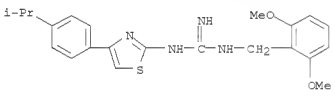


● HBr

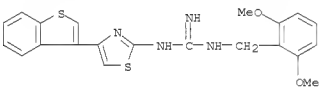
RN 863657-48-1 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(2-thienyl)-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)

L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

RN 863657-55-0 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-[4-(1-methylethyl)phenyl]-2-thiazolyl]- (CA INDEX NAME)

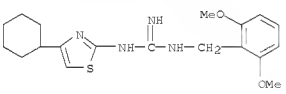


RN 863657-56-1 CAPLUS
CN Guanidine, N-(4-benzo[b]thien-3-yl-2-thiazolyl)-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)

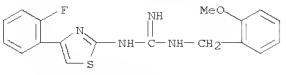


● HBr

RN 863657-57-2 CAPLUS
CN Guanidine, N-(4-cyclohexyl-2-thiazolyl)-N'-[(2,6-dimethoxyphenyl)methyl]- (CA INDEX NAME)

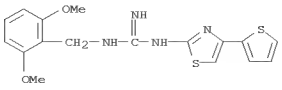


RN 863657-58-3 CAPLUS
CN Guanidine, N-[4-(2-fluorophenyl)-2-thiazolyl]-N'-[(2-methoxyphenyl)methyl]- (CA INDEX NAME)



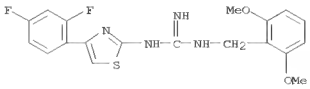
RN 863657-59-4 CAPLUS
CN Guanidine, N-[4-(3-fluorophenyl)-2-thiazolyl]-N'-[(2-methoxyphenyl)methyl]- (CA INDEX NAME)

L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



● HBr

RN 863657-49-2 CAPLUS
CN Guanidine, N-[4-(2,4-difluorophenyl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)

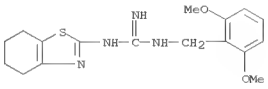


● HBr

RN 863657-54-9 CAPLUS
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-(4,5,6,7-tetrahydro-2-benzothiazolyl)-, acetate (1:1) (CA INDEX NAME)

CM 1

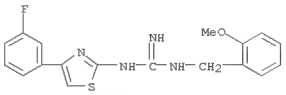
CRN 863657-53-8
CMF C17 H22 N4 O2 S



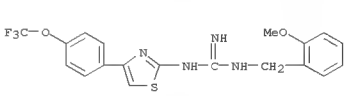
CM 2

CRN 64-19-7
CMF C2 H4 O2

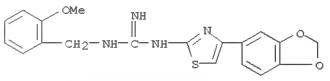
L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



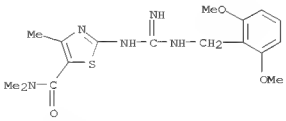
RN 863657-60-7 CAPLUS
CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-[4-[4-(trifluoromethoxy)phenyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



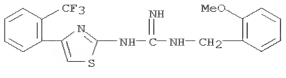
RN 863657-61-8 CAPLUS
CN Guanidine, N-[4-(1,3-benzodioxol-5-yl)-2-thiazolyl]-N'-[(2-methoxyphenyl)methyl]- (CA INDEX NAME)



RN 863657-62-9 CAPLUS
CN 5-Thiazolecarboxamide, 2-[[[[(2,6-dimethoxyphenyl)methyl]amino]iminomethyl]amino]-N,N,4-trimethyl- (CA INDEX NAME)

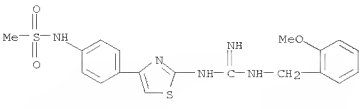


RN 863657-63-0 CAPLUS
CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-[4-[2-(trifluoromethyl)phenyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 863657-64-1 CAPLUS

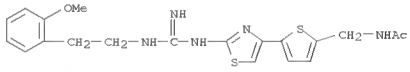
L7 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
CN Methanesulfonamide, N-[4-[2-[[imino[[(2-methoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

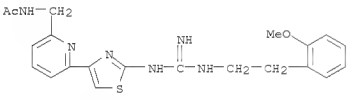
L7 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2001:836782 CAPLUS
DOCUMENT NUMBER: 136:118413
TITLE: Anti-Helicobacter pylori Agents. 5. 2-(Substituted guanidino)-4-arylthiazoles and Aryloxazole Analogues
AUTHOR(S): Katsura, Yousuke; Nishino, Shigetaka; Inoue, Yoshikazu; Sakane, Kazuo; Matsumoto, Yoshimi; Morinaga, Chizu; Ishikawa, Hirohumi; Takasugi, Hisashi
CORPORATE SOURCE: Medicinal Chemistry Research Laboratories and Medicinal Biology Research Laboratories, Fujisawa Pharmaceutical Company Ltd., Yodogawa-ku, Osaka, 532-8514, Japan
SOURCE: Journal of Medicinal Chemistry (2002), 45(1), 143-150 CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:118413
ABSTRACT:
To extend the SAR study of guanidinothiazoles as a structurally novel class of anti-H. pylori agents, a series of 2-(substituted guanidino)-4-arylthiazoles and some 4-aryloxazole analogs were synthesized and evaluated for antimicrobial activity against H. pylori. Some of them were also subjected to H2 antagonist and gastric antisecretory assays. Several arylthiazoles were identified as potent anti-H. pylori agents, and of these, a thienylthiazole derivative exhibited the strongest activity (MIC = 0.0065 μg/mL) among the compds. obtained in our guanidinothiazole studies. Although the thienylthiazole derivative was void of H2 antagonist activity, a pyridylthiazole derivative had both potent anti-H. pylori and H2 antagonist activities. On the other hand, no attractive activities were found in pyrimidyl, oxazolyl, isoxazolyl, imidazolyl, and oxadiazolylthiazole derivs. The anti-H. pylori activity of the aryloxazole analogs was weaker than those of the corresponding arylthiazole derivs., though they had potent H2 antagonist activity.

IT **184581-85-9P** **390817-74-0P** **390817-75-1P** **390817-76-2P** **390817-78-4P** **390817-79-5P** **390817-80-8P** **390817-81-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of guanidinoarylthiazoles and aryloxazoles and their antimicrobial activity against H. pylori., H2 antagonist activity, and gastric antisecretory assays)
RN 184581-85-9 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-thienyl]methyl]- (CA INDEX NAME)

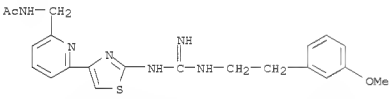


RN 390817-74-0 CAPLUS
CN Acetamide, N-[[6-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-pyridinyl]methyl]- (CA INDEX NAME)

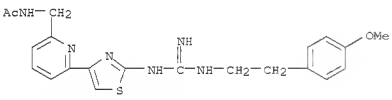
L7 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



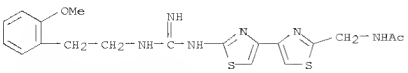
RN 390817-75-1 CAPLUS
CN Acetamide, N-[[6-[2-[[imino[[2-(3-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-pyridinyl]methyl]- (CA INDEX NAME)



RN 390817-76-2 CAPLUS
CN Acetamide, N-[[6-[2-[[imino[[2-(4-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-pyridinyl]methyl]- (CA INDEX NAME)

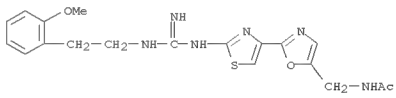


RN 390817-78-4 CAPLUS
CN Acetamide, N-[[2'-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino][4,4'-bithiazol]-2-yl]methyl]- (CA INDEX NAME)

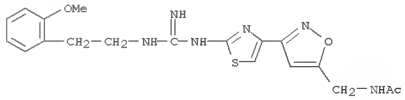


RN 390817-79-5 CAPLUS
CN Acetamide, N-[[2-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-5-oxazolyl]methyl]- (CA INDEX NAME)

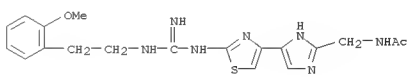
L7 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



RN 390817-80-8 CAPLUS
CN Acetamide, N-[[3-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-5-isoxazolyl]methyl]- (CA INDEX NAME)



RN 390817-81-9 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-1H-imidazol-2-yl]methyl]-, hydrochloride (1:?) (CA INDEX NAME)

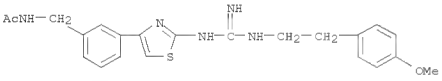


● x HCl

OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

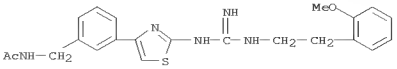
L7 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2000:523449 CAPLUS
DOCUMENT NUMBER: 133:281719
TITLE: Anti-Helicobacter pylori Agents. 4. 2-(Substituted guanidino)-4-phenylthiazoles and Some Structurally Rigid Derivatives
AUTHOR(S): Katsura, Yousuke; Tomishi, Tetsuo; Inoue, Yoshikazu; Sakane, Kazuo; Matsumoto, Yoshimi; Morinaga, Chizu; Ishikawa, Hirohumi; Takasugi, Hisashi
CORPORATE SOURCE: Medicinal Chemistry Research Laboratories and Medicinal Biology Research Laboratories, Fujisawa Pharmaceutical Company Ltd., Osaka, 532-8514, Japan
SOURCE: Journal of Medicinal Chemistry (2000), 43(17), 3315-3321
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:281719
ABSTRACT:
In order to find a new class of anti-Helicobacter pylori (H. pylori) agents, a series of 4-[(3-acetamido)phenyl]-2-(substituted guanidino)thiazoles and some structurally rigid analogs were synthesized and evaluated for antimicrobial activity against H. pylori. Among the compds. obtained, high anti-H. pylori activities were observed in N-[[3-[2-[[imino[(phenylmethyl)amino]methyl]amino]-4-thiazolyl]phenyl]methyl]acetamide (MIC = 0.025 μg/mL) and N-[[3-[2-[[imino[(2-phenylethyl)amino]methyl]amino]-4-thiazolyl]phenyl]methyl]acetamide (MIC = 0.037 μg/mL) and N-[[3-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]phenyl]methyl]acetamide (MIC = 0.017 μg/mL). Though alkyl derivs. generally showed lower activity, N-[[3-[2-[[imino[(2-methoxyethyl)amino]methyl]amino]-4-thiazolyl]phenyl]methyl]acetamide preserved significant activity (MIC = 0.32 μg/mL) and also exhibited more potent gastric antisecretory activity than ranitidine. Structural restriction by bridging between the thiazole and the Ph rings with an alkyl chain did not improve the activity in this series.

IT **149917-20-4P**, N-[[3-[2-[[Imino[[2-(4-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]phenyl]methyl]acetamide **178105-05-0P**, N-[[3-[2-[[Imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]phenyl]methyl]acetamide **299402-94-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of (guanidino)phenylthiazoles and structurally rigid derivs. for inhibition of Helicobacter pylori)
RN 149917-20-4 CAPLUS
CN Acetamide, N-[[3-[2-[[imino[[2-(4-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]phenyl]methyl]- (CA INDEX NAME)

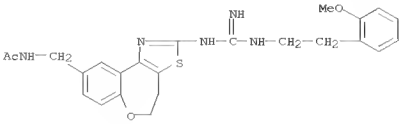


RN 178105-05-0 CAPLUS

L7 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
CN Acetamide, N-[[3-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]phenyl]methyl]- (CA INDEX NAME)



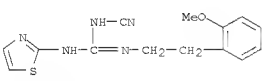
RN 299402-94-1 CAPLUS
CN Acetamide, N-[[4,5-dihydro-2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino][1]benzoxepino[5,4-d]thiazol-9-yl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

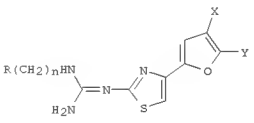
L7 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2000:146887 CAPLUS
DOCUMENT NUMBER: 132:293646
TITLE: Bioisosteric modification of PETT-HIV-1 RT-inhibitors: synthesis and biological evaluation
AUTHOR(S): Hogberg, Marita; Engelhardt, Per; Vrang, Lotta; Zhang, Hong
CORPORATE SOURCE: Medivir AB, Huddinge, S-141 44, Swed.
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(3), 265-268
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
ABSTRACT:
Bioisosteric substitution of the thiourea and urea moiety of PETT [i.e., phenylethyl thiazolyl thiourea] compds. with a sulfamide, cyanoguanidine and guanidine functionalities, and replacement of the phenethyl group with benzoylethyl group were studied. Synthesis and antiviral activities are described. Example compds. are N-(5-chloro-2-pyridinyl)-N'-(2-phenylethyl)sulfamide, N-(5-chloro-2-pyridinyl)-N'-(2-phenylethyl)thiourea, N-[2-(2-methoxyphenyl)ethyl]-N'-(2-thiazolyl)thiourea, or N-cyano-N'-[2-(2-methoxyphenyl)ethyl]-N''-(2-thiazolyl)guanidine.

IT **264601-96-9P**, N-Cyano-N'-[2-(2-methoxyphenyl)ethyl]-N''-(2-thiazolyl)guanidine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, and bioisosteric modification of phenylethyl thiazolyl thiourea-type, HIV-1 reverse transcriptase inhibitors)
RN 264601-96-9 CAPLUS
CN Guanidine, N-cyano-N'-[2-(2-methoxyphenyl)ethyl]-N''-2-thiazolyl- (CA INDEX NAME)



OS.CITING REF COUNT: 24 THERE ARE 24 CAPLUS RECORDS THAT CITE THIS RECORD (24 CITINGS)
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

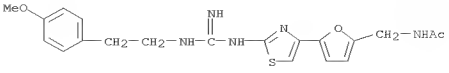
L7 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1999:431080 CAPLUS
DOCUMENT NUMBER: 131:170292
TITLE: Anti-Helicobacter pylori agents. 3. 2-[(Arylalkyl)guanidino]-4-furylthiazoles
AUTHOR(S): Katsura, Yousuke; Nishino, Shigetaka; Ohno, Mitsuko; Sakane, Kazuo; Matsumoto, Yoshimi; Morinaga, Chizu; Ishikawa, Hirohumi; Takasugi, Hisashi
CORPORATE SOURCE: Medicinal Chemistry Research Laboratories and Medicinal Biology Research Laboratories, Fujisawa Pharmaceutical Company Ltd., Yodogawa-ku Osaka, 532-8514, Japan
SOURCE: Journal of Medicinal Chemistry (1999), 42(15), 2920-2926
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GRAPHIC IMAGE:



I

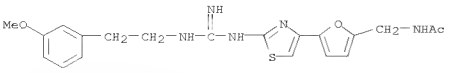
ABSTRACT:
A series of 2-[(arylalkyl)guanidino]-4-[(5-acetamidomethyl)furan-2-yl]thiazoles and some 4-acetamidomethyl positional isomers, I (R = 2-MeOC6H4, 2-furyl, 4-pyridinyl, etc., X = H, Me, CH2NHAc, Y = CH2NHAc, H, Me, n= 0-3), were synthesized and evaluated for antimicrobial activity against Helicobacter pylori. Though I (R = 2-MeOC6H4, X = Me, Y = CH2NHAc, n = 2) (II), an analog incorporating a Me group onto the furan nucleus of I (R = 2-MeOC6H4, X = H, Y = CH2NHAc, n = 2), and I (R = 2-MeOC6H4, X = CH2NHAc, Y = Me, n = 2), a positional isomer of II, also showed potent anti-H. pylori activity, the H2 antagonism profile was eliminated from these compds. Thus, two types of potent anti-H. pylori agents could be derived from the same scaffold.

IT **168969-99-1P** **168970-27-2P** **168970-32-9P** **168970-48-7P** **168970-77-2P** **168970-80-7P** **168970-81-8P** **168971-46-8P** **239123-72-9P** **239123-73-0P** **239123-74-1P** **239123-75-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of [(arylalkyl)guanidino]furylthiazoles)
RN 168969-99-1 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[2-(4-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)

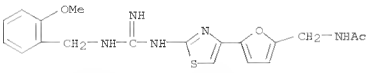


L7 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

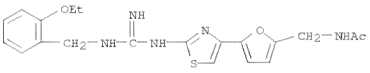
RN 168970-27-2 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[2-(3-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



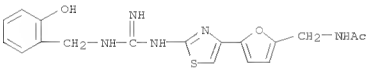
RN 168970-32-9 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[(2-methoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



RN 168970-48-7 CAPLUS
CN Acetamide, N-[[5-[2-[[[[(2-ethoxyphenyl)methyl]amino]iminomethyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



RN 168970-77-2 CAPLUS
CN Acetamide, N-[[5-[2-[[[[(2-hydroxyphenyl)methyl]amino]iminomethyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)

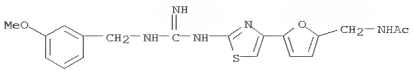


RN 168970-80-7 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[(3-methoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 168970-79-4
CMF C19 H21 N5 O3 S

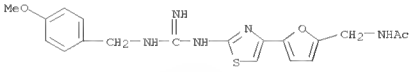
L7 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



CM 2

CRN 144-62-7
CMF C2 H2 O4

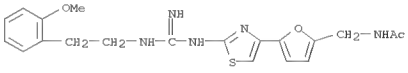
RN 168970-81-8 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[(4-methoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



RN 168971-46-8 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 168970-03-4
CMF C20 H23 N5 O3 S

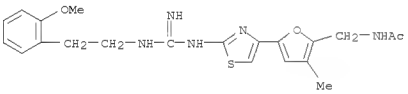


CM 2

CRN 144-62-7
CMF C2 H2 O4

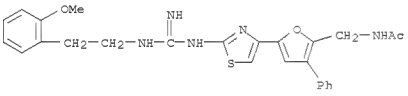
L7 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

RN 239123-72-9 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-3-methyl-2-furanyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

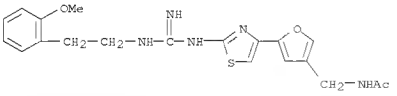


● HCl

RN 239123-73-0 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-3-phenyl-2-furanyl]methyl]- (CA INDEX NAME)

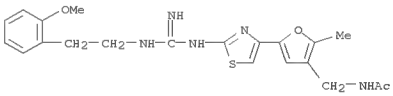


RN 239123-74-1 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-3-furanyl]methyl]- (CA INDEX NAME)



RN 239123-75-2 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-methyl-3-furanyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

L7 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



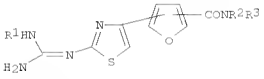
● HCl

OS.CITING REF COUNT: 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1997:195723 CAPLUS
DOCUMENT NUMBER: 126:212142
ORIGINAL REFERENCE NO.: 126:41027a,41030a
TITLE: Preparation of furylthiazoles as ulcer inhibitors
INVENTOR(S): Katsura, Yosuke; Oono, Mitsuko; Nishino, Shigetaka; Fuji, Tetsuo
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09040671	A	19970210	JP 1995-193751	19950728
PRIORITY APPLN. INFO.:			JP 1995-193751	19950728
OTHER SOURCE(S):	MARPAT 126:212142			

GRAPHIC IMAGE:

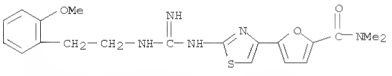


I

ABSTRACT:
The title compds. I [R1 = aryl, etc.; R2, R3 = alkyl] are prepared I are antibacteria agents and also are H2 antagonists. 4-[5-(N-Ethylcarbamoyl)furan-2-yl]-2-[(amino)[2-(2-methoxyphenethyl)amino]methyleneamino]thiazole in vitro showed MIC of 0.1 μg/mL against Helicobacter pylori.

IT **187592-36-5P** **187592-37-6P** **187592-38-7P**
187592-39-8P **187592-40-1P** **187592-41-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of furylthiazoles as ulcer inhibitors)

RN 187592-36-5 CAPLUS
CN 2-Furancarboxamide, 5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-N,N-dimethyl- (CA INDEX NAME)

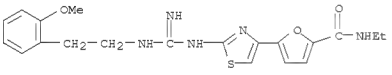


RN 187592-37-6 CAPLUS
CN 2-Furancarboxamide, 5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-N,N-dimethyl-,

L7 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
CM 2

CRN 144-62-7
CMF C2 H2 O4

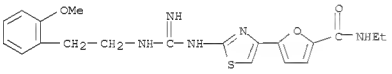
RN 187592-40-1 CAPLUS
CN 2-Furancarboxamide, N-ethyl-5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]- (CA INDEX NAME)



RN 187592-41-2 CAPLUS
CN 2-Furancarboxamide, N-ethyl-5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 187592-40-1
CMF C20 H23 N5 O3 S



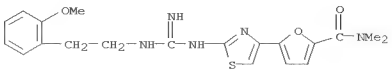
CM 2

CRN 144-62-7
CMF C2 H2 O4

L7 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
ethanedioate (1:1) (CA INDEX NAME)

CM 1

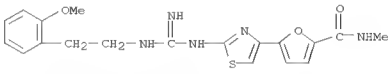
CRN 187592-36-5
CMF C20 H23 N5 O3 S



CM 2

CRN 144-62-7
CMF C2 H2 O4

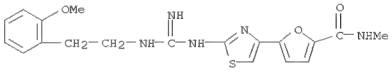
RN 187592-38-7 CAPLUS
CN 2-Furancarboxamide, 5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-N-methyl- (CA INDEX NAME)



RN 187592-39-8 CAPLUS
CN 2-Furancarboxamide, 5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-N-methyl-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 187592-38-7
CMF C19 H21 N5 O3 S



L7 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1997:140933 CAPLUS
DOCUMENT NUMBER: 126:157500
ORIGINAL REFERENCE NO.: 126:30459a,30462a
TITLE: Preparation of guanidinothiazole derivatives as histamine H2 antagonists
INVENTOR(S): Katsura, Yosuke; Oono, Mitsuko; Nishino, Shigetaka; Fuji, Tetsuo
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08337579	A	19961224	JP 1995-147529	19950614
PRIORITY APPLN. INFO.:			JP 1995-147529	19950614
OTHER SOURCE(S):	MARPAT 126:157500			

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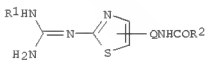
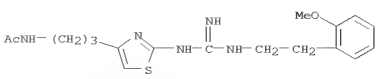


I

ABSTRACT:
The title compds. I [R1 = alkyl, etc.; R2 = alkyl, amino; Q = alkylene, etc.] are prepared 2-[(Amino)(butylamino)methyleneamino]-4-(3-acetylaminopropyl)thiazole oxalic acid salt at 1 mg/kg i. v. gave 100% inhibition of histamine-induced gastric acid secretion in rats.

IT **186686-50-0P** **186686-62-4P** **186686-70-4P**
186686-76-0P **186686-87-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of guanidinothiazole derivs. as histamine H2 antagonists)

RN 186686-50-0 CAPLUS
CN Acetamide, N-[3-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]propyl]- (CA INDEX NAME)

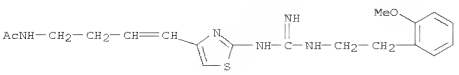


RN 186686-62-4 CAPLUS
CN Acetamide, N-[4-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-3-buten-1-yl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 186686-61-3
CMF C19 H25 N5 O2 S

L7 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



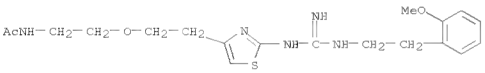
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 186686-70-4 CAPLUS
CN Acetamide, N-[2-[2-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]ethoxy]ethyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 186686-69-1
CMF C19 H27 N5 O3 S



CM 2

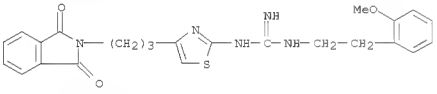
CRN 144-62-7
CMF C2 H2 O4

RN 186686-76-0 CAPLUS
CN Acetamide, N-[3-[2-[[imino[[(2-propoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]propyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

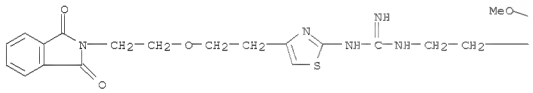
CRN 186686-75-9
CMF C19 H27 N5 O2 S

L7 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



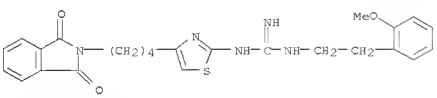
RN 186686-96-4 CAPLUS
CN Guanidine, N-[4-[2-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethoxy]ethyl]-2-thiazolyl]-N'-[2-(2-methoxyphenyl)ethyl]- (CA INDEX NAME)

PAGE 1-A

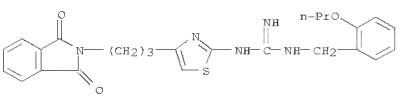


PAGE 1-B

RN 186686-99-7 CAPLUS
CN Guanidine, N-[4-[4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)butyl]-2-thiazolyl]-N'-[2-(2-methoxyphenyl)ethyl]- (CA INDEX NAME)

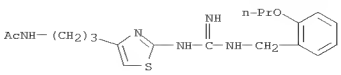


RN 186687-00-3 CAPLUS
CN Guanidine, N-[4-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-2-thiazolyl]-N'-[(2-propoxyphenyl)methyl]- (CA INDEX NAME)



RN 186687-01-4 CAPLUS
CN Guanidine, N-[4-[4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-buten-1-yl]-2-thiazolyl]-N'-[2-(2-methoxyphenyl)ethyl]- (CA INDEX NAME)

L7 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



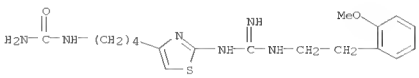
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 186686-87-3 CAPLUS
CN Urea, N-[4-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]butyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 186686-86-2
CMF C18 H26 N6 O2 S

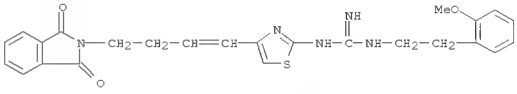


CM 2

CRN 144-62-7
CMF C2 H2 O4

IT **186686-90-8P** **186686-96-4P** **186686-99-7P**
186687-00-3P **186687-01-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of guanidinothiazole derivs. as histamine H2 antagonists)
RN 186686-90-8 CAPLUS
CN Guanidine, N-[4-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-2-thiazolyl]-N'-[2-(2-methoxyphenyl)ethyl]- (CA INDEX NAME)

L7 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L7 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1997:42 CAPLUS
DOCUMENT NUMBER: 126:47211
ORIGINAL REFERENCE NO.: 126:9313a
TITLE: Preparation of 4-thienylthiazole derivatives as antiulcer and antibacterial agents
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08245621	A	19960924	JP 1996-35931	19960223
PRIORITY APPLN. INFO.:			GB 1995-4689 A	19950308
OTHER SOURCE(S):	MARPAT 126:47211			

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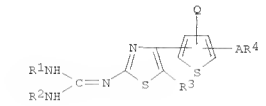
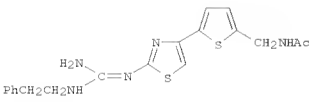


I

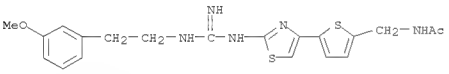


II

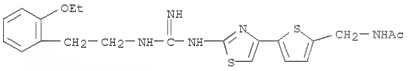
ABSTRACT:
The title compds. [I; R1 = (halo or alkoxy)aryl, cycloalkyl, alkenyl, (un)substituted aralkyl, R2, R3, Q = H, alkyl; R4 = acyl, acylamino; A = single bond, alkylene], which show excellent antibacterial activity against Helicobacter pylori, are prepared Thus, a suspension of 5-acetamidomethyl-2-chloroacetylthiophene 1.5, N-(2-phenylethyl)amidinothiourea, and NaHCO3 in ethanol was heated at 55° for 3.5 h to give 1.30 g the title compound [(diaminomethylene)amino]thienylthiazole derivative (II). II showed min. inhibitory concentration of <0.1 μg/mL against H. pylori.

IT **184581-58-6P** **184581-59-7P** **184581-60-0P**
184581-61-1P **184581-66-6P** **184581-70-2P**
184581-72-4P **184581-80-4P** **184581-85-9P**
184581-91-7P **184581-96-2P** **184581-99-5P**
184582-00-1P **184582-03-4P** **184582-04-5P**
184582-07-8P **184582-08-9P** **184582-09-0P**
184582-10-3P **184582-11-4P** **184582-12-5P**
184582-13-6P **184582-14-7P** **184582-15-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of thienylthiazole derivs. as antiulcer and antibacterial agents)

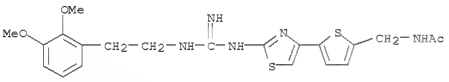
L7 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



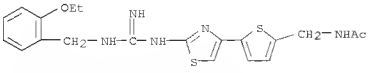
RN 184581-70-2 CAPLUS
CN Acetamide, N-[[5-[2-[[[[2-(2-ethoxyphenyl)ethyl]amino]iminomethyl]amino]-4-thiazolyl]-2-thienyl]methyl]- (CA INDEX NAME)



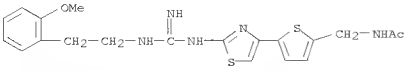
RN 184581-72-4 CAPLUS
CN Acetamide, N-[[5-[2-[[[[2-(2,3-dimethoxyphenyl)ethyl]amino]iminomethyl]amino]-4-thiazolyl]-2-thienyl]methyl]- (CA INDEX NAME)



RN 184581-80-4 CAPLUS
CN Acetamide, N-[[5-[2-[[[[(2-ethoxyphenyl)methyl]amino]iminomethyl]amino]-4-thiazolyl]-2-thienyl]methyl]- (CA INDEX NAME)



RN 184581-85-9 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-thienyl]methyl]- (CA INDEX NAME)

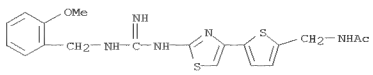


RN 184581-91-7 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[2-(4-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-thienyl]methyl]-, ethanedioate (1:1) (CA INDEX NAME)

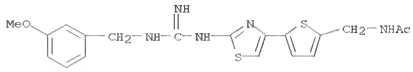
CM 1

L7 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

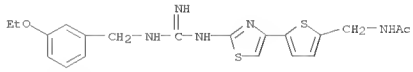
RN 184581-58-6 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[(2-methoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]-2-thienyl]methyl]- (CA INDEX NAME)



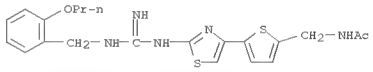
RN 184581-59-7 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[(3-methoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]-2-thienyl]methyl]- (CA INDEX NAME)



RN 184581-60-0 CAPLUS
CN Acetamide, N-[[5-[2-[[[[(3-ethoxyphenyl)methyl]amino]iminomethyl]amino]-4-thiazolyl]-2-thienyl]methyl]- (CA INDEX NAME)



RN 184581-61-1 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[(2-propoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]-2-thienyl]methyl]- (CA INDEX NAME)



RN 184581-66-6 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[2-(3-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-thienyl]methyl]- (CA INDEX NAME)

L7 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

CRN 184581-90-6
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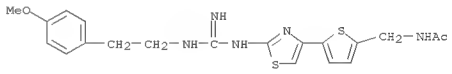
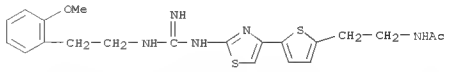


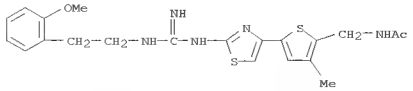
CM 2

CRN 144-62-7
CMF C2 H2 O4

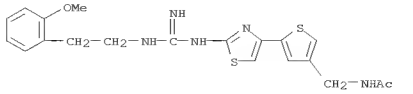
RN 184581-96-2 CAPLUS
CN Acetamide, N-[2-[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-thienyl]ethyl]- (CA INDEX NAME)



RN 184581-99-5 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-3-methyl-2-thienyl]methyl]- (CA INDEX NAME)

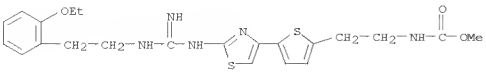


RN 184582-00-1 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-3-thienyl]methyl]- (CA INDEX NAME)



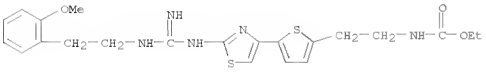
L7 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

RN 184582-03-4 CAPLUS
CN Carbamic acid, [2-[5-[2-[[[[2-(2-ethoxyphenyl)ethyl]amino]iminomethyl]amino]-4-thiazolyl]-2-thienyl]ethyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



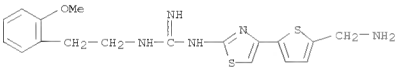
● HCl

RN 184582-04-5 CAPLUS
CN Carbamic acid, [2-[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-thienyl]ethyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

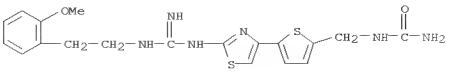
RN 184582-07-8 CAPLUS
CN Guanidine, N-[4-[5-(aminomethyl)-2-thienyl]-2-thiazolyl]-N'-[2-(2-methoxyphenyl)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

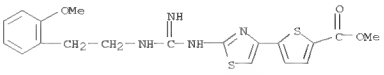
RN 184582-08-9 CAPLUS
CN Urea, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-thienyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

L7 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

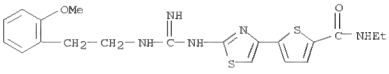


● HCl

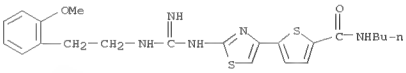
RN 184582-09-0 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-, methyl ester (CA INDEX NAME)



RN 184582-10-3 CAPLUS
CN 2-Thiophenecarboxamide, N-ethyl-5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]- (CA INDEX NAME)

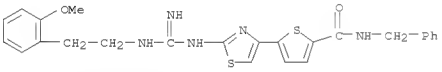


RN 184582-11-4 CAPLUS
CN 2-Thiophenecarboxamide, N-butyl-5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]- (CA INDEX NAME)

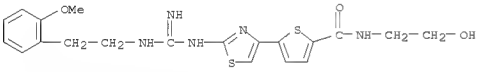


RN 184582-12-5 CAPLUS
CN 2-Thiophenecarboxamide, 5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-N-(phenylmethyl)- (CA INDEX NAME)

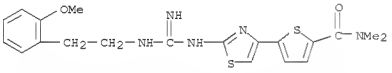
L7 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



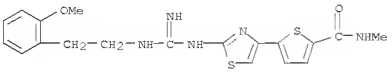
RN 184582-13-6 CAPLUS
CN 2-Thiophenecarboxamide, N-(2-hydroxyethyl)-5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]- (CA INDEX NAME)



RN 184582-14-7 CAPLUS
CN 2-Thiophenecarboxamide, 5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-N,N-dimethyl- (CA INDEX NAME)



RN 184582-15-8 CAPLUS
CN 2-Thiophenecarboxamide, 5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-N-methyl- (CA INDEX NAME)

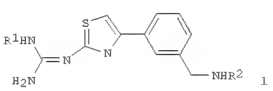


L7 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1996:385930 CAPLUS
DOCUMENT NUMBER: 125:58498
ORIGINAL REFERENCE NO.: 125:11249a,11252a
TITLE: Preparation of 4-(3-aminomethylphenyl)-2-thiazolylguanidines as H2-receptor antagonists
INVENTOR(S): Katsura, Yousuke; Tomishi, Tetsuo; Nishino, Shigetaka; Ohno, Mitsuko
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9605187	A1	19960222	WO 1995-JP1596	19950809
W: AU, BR, CA, CN, FI, HU, JP, KR, MX, NO, NZ, RU, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9531929	A	19960307	AU 1995-31929	19950809
JP 2000504305	T	20000411	JP 1995-507193	19950809
PRIORITY APPLN. INFO.:			GB 1994-16459 A	19940815
			WO 1995-JP1596 W	19950809

OTHER SOURCE(S): MARPAT 125:58498
GRAPHIC IMAGE:

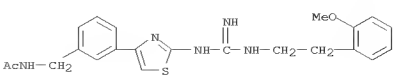


1

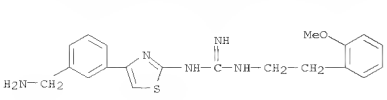
ABSTRACT:
Title compds. [I; R1 = alkoxy(alkyl), cyanoalkyl, phenyl(oxy)(alkyl), etc.; R2 = H, alkanoyl, CONH2] were prepared Thus, 1 [R1 = 2-(1-cyclohexenyl)ethyl, R2 = Ac] gave 100% inhibition of histamine-induced increase of guinea pig atrial strip contraction at 10-6g/mL in vitro.

1T **178105-05-0P** **178105-21-0P** **178105-22-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-(3-aminomethylphenyl)-2-thiazolylguanidines as H2-receptor antagonists)

RN 178105-05-0 CAPLUS
CN Acetamide, N-[[3-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]phenyl]methyl]- (CA INDEX NAME)

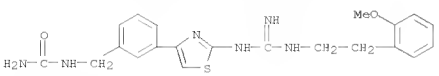


L7 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
RN 178105-21-0 CAPLUS
CN Guanidine, N-[4-[3-(aminomethyl)phenyl]-2-thiazolyl]-N'-[2-(2-methoxyphenyl)ethyl]-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

RN 178105-22-1 CAPLUS
CN Urea, N-[[7-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]phenyl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1995:856070 CAPLUS
DOCUMENT NUMBER: 123:256700
ORIGINAL REFERENCE NO.: 123:45915a,45918a
TITLE: Furylthiazoles and their use as H2-receptor antagonists and antimicrobials
INVENTOR(S): Katsura, Yousuke; Ohno, Mitsuko; Nishino, Shigetaka; Tomishi, Tetsuo; Takasugi, Hisashi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9518126	A1	19950706	WO 1994-JP2278	19941228
W: AU, CA, CN, HU, JP, KR, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9512831	A	19950717	AU 1995-12831	19941228
JP 09507222	T	19970722	JP 1994-517925	19941228
PRIORITY APPLN. INFO.:			GB 1993-26611 A	19931231
			WO 1994-JP2278 W	19941228

OTHER SOURCE(S): CASREACT 123:256700; MARPAT 123:256700
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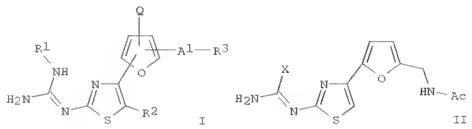
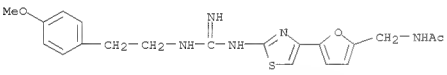


I II

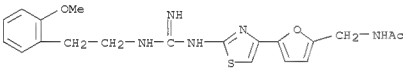
ABSTRACT:
The invention relates to furylthiazole derivs. I [R1 = pentyl, branched alkyl or alkenyl, certain alkoxyalkyl, aryl, aryloxy, etc.; R2 = H, alkyl; R3 = amino, acylamino; A1 = alkylene; Q = H, alkyl] and pharmaceutically acceptable salts, which have antiulcer, H2-receptor antagonizing, and antimicrobial activity. Also disclosed are processes for their preparation, pharmaceutical compns. comprising them, and their use in treatment of ulcers and infections. For example, condensation of the isothiourea derivative II.HI (X = MeS) with R1NH2 [R1 = cyclohexylmethyl (Q)] in refluxing EtOH gave title compound II (X = QNH). The latter had an MIC of < 0.2 μg/mL against Helicobacter pylori 8008 in vitro, and the compound II (X = PhCH2CH2CH2NH) gave 77.9% inhibition of ulcers at 32 mg/kg orally in mice in the HCl-aspirin ulcer test. Approx. 150 compds. I and salts are listed with characterizing phys. and spectral data.

IT **168969-99-1P** **168970-03-4P** **168970-05-6P**
168970-27-2P **168970-32-9P** **168970-34-1P**
168970-48-7P **168970-59-0P** **168970-66-9P**
168970-72-7P **168970-76-1P** **168970-77-2P**
168970-80-7P **168970-81-8P** **168971-10-6P**

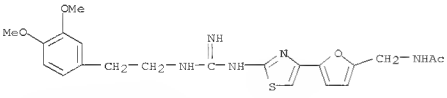
L7 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
168971-17-3P **168971-32-2P** **168971-39-9P**
168971-46-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of furylthiazoles as antiulcer agents, H2-receptor antagonists, and antimicrobials)
RN 168969-99-1 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[2-(4-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



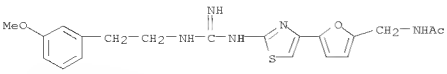
RN 168970-03-4 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



RN 168970-05-6 CAPLUS
CN Acetamide, N-[[5-[2-[[[[2-(3,4-dimethoxyphenyl)ethyl]amino]iminomethyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)

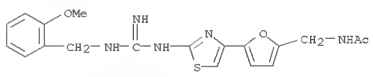


RN 168970-27-2 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[2-(3-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)

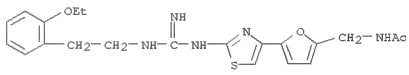


RN 168970-32-9 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[(2-methoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)

L7 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



RN 168970-34-1 CAPLUS
CN Acetamide, N-[[5-[2-[[[[2-(2-ethoxyphenyl)ethyl]amino]iminomethyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



RN 168970-48-7 CAPLUS
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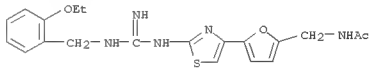
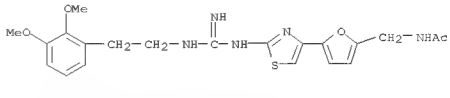
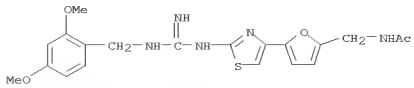


RN 168970-59-0 CAPLUS
CN Acetamide, N-[[5-[2-[[[[2-(2,3-dimethoxyphenyl)ethyl]amino]iminomethyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



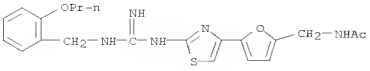
RN 168970-66-9 CAPLUS
CN Acetamide, N-[[5-[2-[[[[(2,4-dimethoxyphenyl)methyl]amino]iminomethyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



RN 168970-72-7 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[(2-propoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]-, ethanedioate (1:1) (CA INDEX NAME)

L7 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
CM 1

CRN 168970-71-6
CMF C21 H25 N5 O3 S



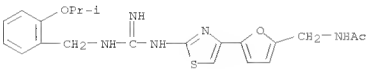
CM 2

CRN 144-62-7
CMF C2 H2 O4

RN 168970-76-1 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[[2-(1-methylethoxy)phenyl]methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 168970-75-0
CMF C21 H25 N5 O3 S

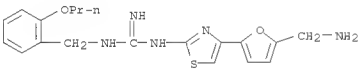


CM 2

CRN 144-62-7
CMF C2 H2 O4

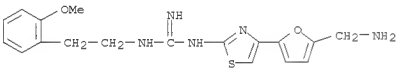
RN 168970-77-2 CAPLUS
CN Acetamide, N-[[5-[2-[[[[(2-hydroxyphenyl)methyl]amino]iminomethyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)

L7 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



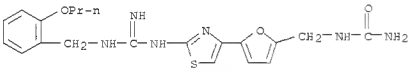
●2 HCl

RN 168971-17-3 CAPLUS
CN Guanidine, N-[4-[5-(aminomethyl)-2-furanyl]-2-thiazolyl]-N'-[2-(2-methoxyphenyl)ethyl]-, hydrochloride (1:2) (CA INDEX NAME)

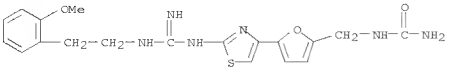


●2 HCl

RN 168971-32-2 CAPLUS
CN Urea, N-[[5-[2-[[imino[[(2-propoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



RN 168971-39-9 CAPLUS
CN Urea, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)

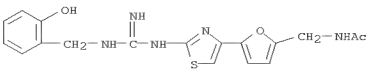


RN 168971-46-8 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 168970-03-4
CMF C20 H23 N5 O3 S

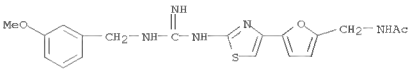
L7 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



RN 168970-80-7 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[(3-methoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

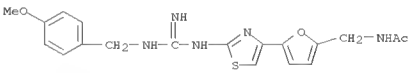
CRN 168970-79-4
CMF C19 H21 N5 O3 S



CM 2

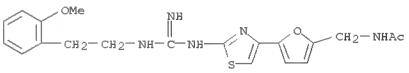
CRN 144-62-7
CMF C2 H2 O4

RN 168970-81-8 CAPLUS
CN Acetamide, N-[[5-[2-[[imino[[(4-methoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]-2-furanyl]methyl]- (CA INDEX NAME)



RN 168971-10-6 CAPLUS
CN Guanidine, N-[4-[5-(aminomethyl)-2-furanyl]-2-thiazolyl]-N'-[(2-propoxyphenyl)methyl]-, hydrochloride (1:2) (CA INDEX NAME)

L7 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



CM 2

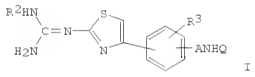
CRN 144-62-7
CMF C2 H2 O4

OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

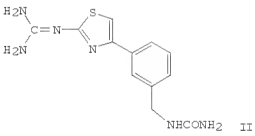
L7 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1993:603405 CAPLUS
DOCUMENT NUMBER: 119:203405
ORIGINAL REFERENCE NO.: 119:36281a,36284a
TITLE: Preparation of guanidinothiazoles and their use as histamine H2-receptor antagonists
INVENTOR(S): Katsura, Yousuke; Tomishi, Tetsuo; Inoue, Yoshikazu; Takasugi, Hisashi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 49 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 545376	A1	19930609	EP 1992-120533	19921202
EP 545376	B1	19980909		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
ZA 9208876	A	19930715	ZA 1992-8876	19921117
AU 9229837	A	19930610	AU 1992-29837	19921202
AU 666893	B2	19960229		
JP 06321921	A	19941122	JP 1992-323052	19921202
JP 2531329	B2	19960904		
AT 170851	T	19980915	AT 1992-120533	19921202
CA 2084640	A1	19930607	CA 1992-2084640	19921204
HU 65776	A2	19940728	HU 1992-3849	19921204
CN 1079469	A	19931215	CN 1992-114939	19921205
US 5532258	A	19960702	US 1994-356967	19941216
PRIORITY APPLN. INFO.:			GB 1991-25970	A 19911206
			US 1992-978477	B1 19921118

OTHER SOURCE(S): MARPAT 119:203405
GRAPHIC IMAGE:



I

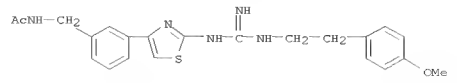


II

ABSTRACT:
Title compds. [I; R2 = H, (substituted) alkyl; R3 = H, alkyl, alkoxy, halo; A = alkylene; Q = COR1, (substituted) carbamimidoyl; R1 = organic group], were prepared

L7 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
Thus, 4-(3-aminomethylphenyl)-2-(diaminomethyleneamino)thiazole dihydrochloride (prepn. given) was stirred with potassium isocyanate in H2O at room temp. for 8.5 h to give title compd. II. II at 1 mg/kg i.v. in rats inhibited 99% gastric acid secretion.

IT **149917-20-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as histamine H2 receptor antagonist)
RN 149917-20-4 CAPLUS
CN Acetamide, N-[[3-[2-[[imino[[2-(4-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]phenyl]methyl]- (CA INDEX NAME)

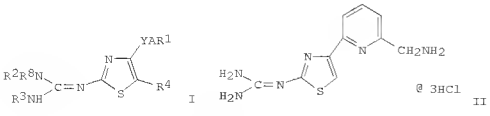


OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L7 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1993:234050 CAPLUS
DOCUMENT NUMBER: 118:234050
ORIGINAL REFERENCE NO.: 118:40543a,40546a
TITLE: Preparation of thiazole derivatives as antiulcer and antimicrobial agents
INVENTOR(S): Takasugi, Hisashi; Katsura, Yousuke; Inoue, Yoshikazu; Tomishi, Tetsuo
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

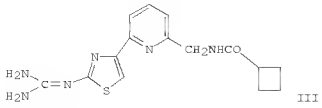
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9216526	A1	19921001	WO 1992-JP279	19920309
W: CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
CA 2105981	A1	19920914	CA 1992-2105981	19920309
EP 575614	A1	19931229	EP 1992-905746	19920309
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 06505724	T	19940630	JP 1992-505609	19920309
CN 1089259	A	19940713	CN 1993-100376	19930102
US 5364871	A	19941115	US 1993-29359	19930310
PRIORITY APPLN. INFO.:			US 1991-668915	A 19910313
			GB 1989-20977	A 19890915
			GB 1989-28610	A 19891219
			GB 1990-12962	A 19900611
			US 1990-571151	B2 19900823
			US 1992-825832	B1 19920128
			WO 1992-JP279	W 19920309

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 118:234050
GRAPHIC IMAGE:



I

II

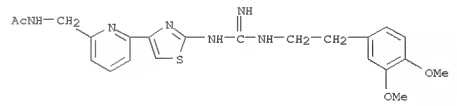


III

ABSTRACT:
Thiazole derivs. [I; R1 = (substituted) amino, OH, halo, cyano, acyl, etc.; R2, R3, R8 = H, acyl, (substituted) alkyl, C3-7 cycloalkyl, alkenyl, alkynyl, etc.; 2 of R2, R3, and R8 may form alkylene containing optional hetero atom; R4 = H,

L7 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
alkyl; A = bond, alkylene; Y = (halo)pyridinediyl, thiazolediyl] are prepd. A mixt. of 0.5 mL cyclobutanecarboxylic acid, 0.8 g 1-hydroxybenzotriazole hydrate, and 1.0 g Me2N(CH2)3N:C:NEt.HCl in DMF was stirred at room temp. and the mixt. was added to 1.5 g thiazole salt II and Et3N in DMF with stirring at room temp. to give 0.86 g III after neutralization. Also prepd. were 80 addnl. I, which showed 100% inhibition of gastric secretion at 1 mg/kg i.v. in rats and MIC of 0.78 μg/mL against Campylobacter pyloridis 8008.

IT **146946-81-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiulcer and antimicrobial agent)
RN 146946-81-8 CAPLUS
CN Acetamide, N-[[6-[2-[[[[2-(3,4-dimethoxyphenyl)ethyl]amino]iminomethyl]amino]-4-thiazolyl]-2-pyridinyl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

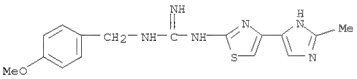
L7 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1986:168456 CAPLUS
DOCUMENT NUMBER: 104:168456
ORIGINAL REFERENCE NO.: 104:26691a,26694a
TITLE: 2-(N-Substituted-guanidino)-4-heteroarylthiazole antiulcer agents
INVENTOR(S): Reiter, Lawrence Alan
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Eur. Pat. Appl., 66 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 161841	A1	19851121	EP 1985-302844	19850424
EP 161841	B1	19890719		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4560690	A	19851224	US 1984-605510	19840430
IN 165501	A1	19891104	IN 1985-DE244	19850322
AT 44741	T	19890815	AT 1985-302844	19850424
CS 248741	B2	19870212	CS 1985-3042	19850425
CS 248750	B2	19870212	CS 1985-7163	19850425
DD 233374	A5	19860226	DD 1985-275638	19850426
PL 145213	B1	19880831	PL 1985-253107	19850426
PL 146070	B1	19881231	PL 1985-257845	19850426
CA 1262352	A1	19891017	CA 1985-480150	19850426
CN 85103265	A	19861210	CN 1985-103265	19850427
CN 1012365	B	19910417		
DK 8501908	A	19851031	DK 1985-1908	19850429
DK 165693	B	19930104		
DK 165693	C	19930607		
FI 8501683	A	19851031	FI 1985-1683	19850429
FI 81096	B	19900531		
FI 81096	C	19900910		
NO 8501695	A	19851031	NO 1985-1695	19850429
NO 164097	B	19900521		
NO 164097	C	19900829		
AU 8541790	A	19851107	AU 1985-41790	19850429
AU 554271	B2	19860814		
HU 37787	A2	19860228	HU 1985-1646	19850429
HU 198300	B	19890928		
ES 542703	A1	19860316	ES 1985-542703	19850429
ZA 8503161	A	19861230	ZA 1985-3161	19850429
SU 1380614	A3	19880307	SU 1985-3884505	19850429
IL 75038	A	19880731	IL 1985-75038	19850429
JP 60239474	A	19851128	JP 1985-93524	19850430
JP 63016387	B	19880408		
ES 548073	A1	19860401	ES 1985-548073	19851021
SU 1400508	A3	19880530	SU 1986-4027210	19860402
IN 173937	A1	19940813	IN 1987-DE939	19871027

PRIORITY APPLN. INFO.: US 1984-605510 A 19840430
IN 1985-DE244 A1 19850322
EP 1985-302844 A 19850424

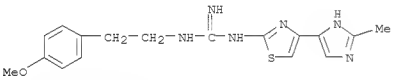
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 104:168456; MARPAT 104:168456
GRAPHIC IMAGE:

L7 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

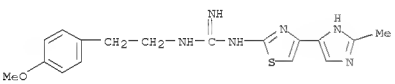


●2 HBr

RN 101189-76-8 CAPLUS
CN Guanidine, N-[2-(4-methoxyphenyl)ethyl]-N'-[4-(2-methyl-1H-imidazol-4-yl)-2-thiazolyl]- (9CI) (CA INDEX NAME)



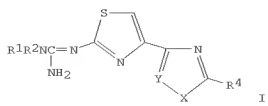
RN 101189-77-9 CAPLUS
CN Guanidine, N-[2-(4-methoxyphenyl)ethyl]-N'-[4-(2-methyl-1H-imidazol-4-yl)-2-thiazolyl]-, dihydrobromide (9CI) (CA INDEX NAME)



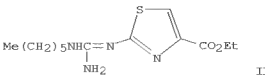
●2 HBr

OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

L7 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



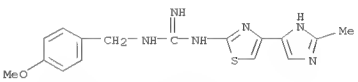
I



II

ABSTRACT:
The title compds. [I: R1 = alkyl, R32C6H3, R5(CH2)n; R2 = H, alkyl; R4 = H, alkyl, HOCH2, NH2; R3 = H, alkoxycarbonyl, alkanoyl, Br, Cl, F, iodo, Me, MeO, NO2, NH2, OH, cyano; R5 = (un)substituted Ph, naphthyl, furyl, thienyl, pyridyl, pyrimidinyl, thiazolyl, imidazolyl; X = NH, Y = CH, N; X = S, Y = CH; n = 1-4] were prepared. Thus, hexylamine-HCl was condensed with HN(CN)2 to give Me(CH2)5NHC(NH2):NCN which was treated with H2S to give Me(CH2)5NHC(NH2):NCSNH2. The latter was cyclocondensed with BrCH2COCO2Et to give thiazolecarboxylate II. This was converted to its hydrazide and cyclocondensed with MeCSNH2 to give I (R1 = hexyl, R2 = H, R4 = Me, X = NH, Y = N). Selected I are histamine H2-receptor antagonists with pA2 ≥ 6.9 in guinea pig atria tissue; in rats at 30 mg/kg orally, I gave ≥77% inhibition of EtOH-induced ulcers.

IT **101189-74-6P** **101189-75-7P** **101189-76-8P**
101189-77-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as gastric secretion and ulcer inhibitor)
RN 101189-74-6 CAPLUS
CN Guanidine, N-[(4-methoxyphenyl)methyl]-N'-[4-(2-methyl-1H-imidazol-4-yl)-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 101189-75-7 CAPLUS
CN Guanidine, N-[(4-methoxyphenyl)methyl]-N'-[4-(2-methyl-1H-imidazol-4-yl)-2-thiazolyl]-, dihydrobromide (9CI) (CA INDEX NAME)

L7 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1984:407147 CAPLUS
DOCUMENT NUMBER: 101:7147
ORIGINAL REFERENCE NO.: 101:1222h,1223a
TITLE: N-Substituted guanidinothiazole derivatives
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59036674	A	19840228	JP 1982-147274	19820825

PRIORITY APPLN. INFO.: JP 1982-147274 19820825
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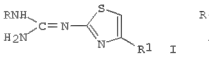

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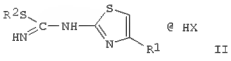

@ HX

II

ABSTRACT:
Twenty-nine guanidinothiazole derivs. (I; R = alkyl, aralkyl, heterocyclylalkyl; R1 = 2-pyridyl, 2-furyl), effective antisecretory agents at 10-50 mg/kg, were prepared by substitution of II (R2 = alkyl, X = halo) with RNH2. Thus, refluxing 1.0 g II (R1 = 2-pyridyl, R2 = Me, X = I) with 3.2 g 2-(2-aminoethyl)pyridine in EtOH gave 0.75 g I.3HCl [R = 2-(2-pyridyl)ethyl; R1 = 2-pyridyl].

IT **90489-12-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 90489-12-6 CAPLUS
CN Guanidine, N-[2-(3,4-dimethoxyphenyl)ethyl]-N'-[4-(2-pyridinyl)-2-thiazolyl]-, (2Z)-2-butenedioate (1:1) (CA INDEX NAME)

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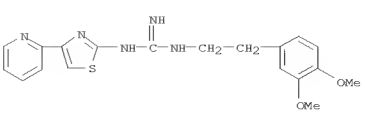
CRN 90489-11-5
CMF C19 H21 N5 O2 S

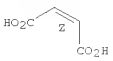


CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

L7 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



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FILE CONTENT: 1961-PRESENT VOL 155 ISS 13 (20110918/ED)

MARPAT RECORDS FOR 1961-1987 ARE DERIVED FROM INPI DATA

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US  20110196176 11 AUG 2011
DE 102010006884 04 AUG 2011
EP      2348120 27 JUL 2011
JP   2011155180 11 AUG 2011
WO   2011102668 25 AUG 2011
GB      2475359 18 MAY 2011
FR      2955860 05 AUG 2011
RU      2425038 27 JUL 2011
CA      2730618 03 AUG 2011

The new MARPAT User Guide is now available at:
 http://www.cas.org/support/stngen/stndoc/marpat.html.

Assembled MARPAT displays are now available by default for QHIT and FQHIT
formats.  Two new display formats, QHITEXG and FQHITEXG, have also been
implemented.  See NEWS 20 for more information on these and other
time-saving enhancements.
'.FIONAMARPAT' IS DEFAULT FORMAT FOR 'MARPAT' FILE

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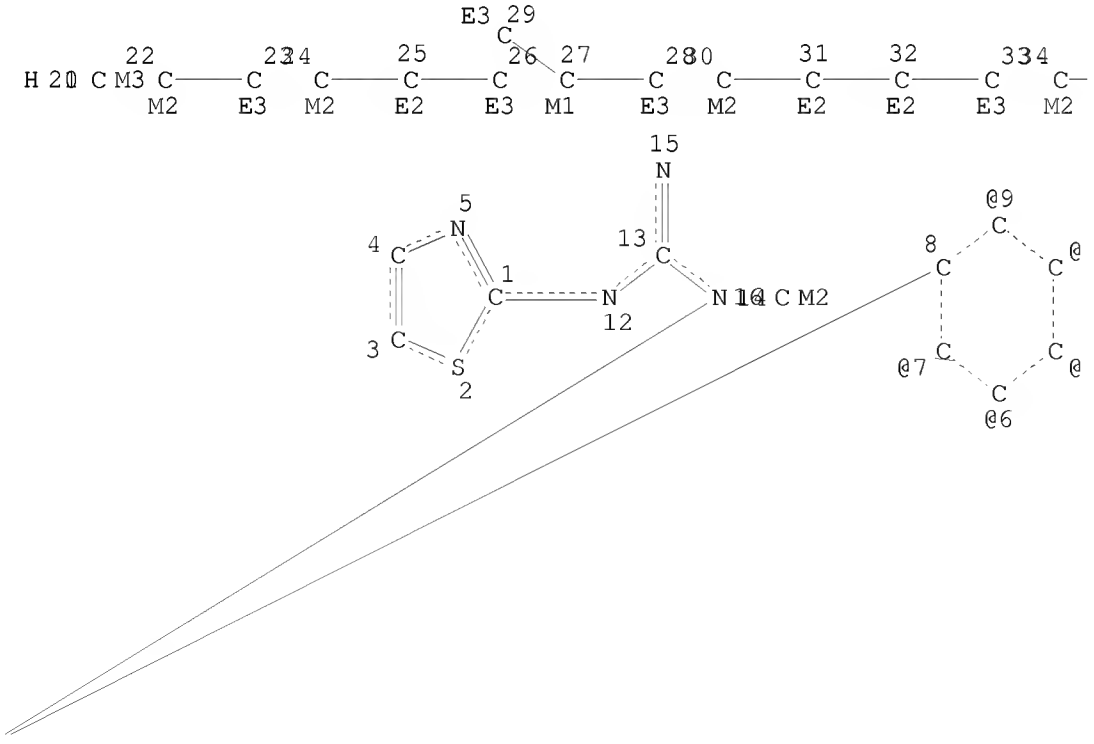

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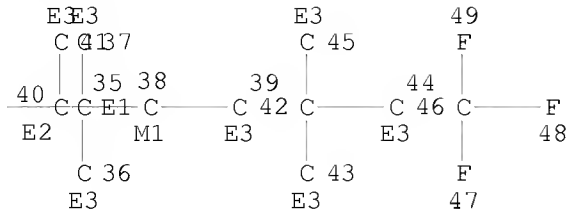

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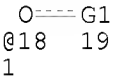

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Page 1-B

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L9           32 SEA FILE=MARPAT SSS FUL L1

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L9 ANSWER 1 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 153:480999 MARPAT
TITLE: Heterocyclic compounds as autotaxin inhibitors and their preparation and use in the treatment of cancer and other autotaxin-mediated diseases
INVENTOR(S): Schultz, Melanie; Schiemann, Kai; Staehle, Wolfgang
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: PCT Int. Appl., 129pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2010112124	A1	20101007	WO 2010-EP1457	20100309

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PRIORITY APPLN. INFO.: EP 2009-4866 20090402
OTHER SOURCE(S): CASREACT 153:480999
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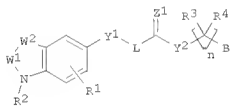

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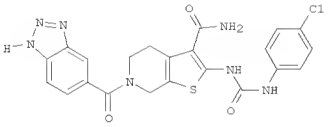
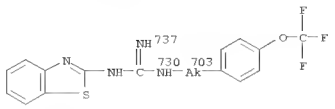


II

ABSTRACT:
The invention relates to compds. according to formula I as autotaxin inhibitors

L9 ANSWER 1 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)
and the use of such compds. for the treatment and/or prophylaxis of physiol. and/or pathophysiol. conditions, which are caused, mediated and/or propagated by increased lysophosphatic acid levels and/or the activation of autotaxin, in particular of different cancers. Compds. of formula I wherein W1W2 together form N=N, CO2, COS, CO, NH and derivs., etc.; Y1 is CO, CS, NHCO and derivs., CONH and derivs, etc, Y2 is (un)substituted methylene, O, NH and derivs., CONH and derivs., and a single bond; Z1 is O, S and NH and derivs.; L is substituted aminotetrahydrothienopiperidinyl, aminoindolyl, aminobenzothiazolyl, etc.; B is (un)substituted cycloalkyl, (un)substituted heterocycloalkyl, (un)substituted aryl and (un)substituted heteroaryl; R1, R2, R3 and R4 are independently H, alkyl, cycloalkyl, heterocyclyl, etc.; n is 0, 1, 2, 3, and 4; and their physiol. acceptable salts, derivs., prodrugs, solvates, stereoisomers, and mixts. of stereoisomers in all ratios, are claimed. Example compd. II was prepd. by a multistep procedure (procedure given). All the invention compds. were evaluated for their autotaxin inhibitory activity (data given).

MSTR 3 Assembled



703: alkylene <containing up to 4 C, unbranched> (opt. substd.)
730: opt. substd.
737: opt. substd.
Patent location: claim 6
Note: and physiologically acceptable salts, derivatives, prodrugs, and solvates
Stereochemistry: and stereoisomers

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 150:374522 MARPAT
TITLE: Amidine, thiourea, and guanidine derivatives of 2-aminobenzothiazoles and aminobenzothiazines for treatment of neurodegenerative pathologies
INVENTOR(S): Anzini, Maurizio; Giordani, Antonio; Makovec, Francesco; Cappelli, Andrea; Vomero, Salvatore; Caselli, Gianfranco; Rovati, Lucio Claudio
PATENT ASSIGNEE(S): Rottapharm S.p.A., Italy
SOURCE: PCT Int. Appl., 47pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009040331	A2	20090402	WO 2008-EP62636	20080922
WO 2009040331	A3	20090604		

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IT 2007TO00665	A1	20071224	IT 2007-TO665	20070924
IT 1379970	B1	20100830		
CA 2700584	A1	20090402	CA 2008-2700584	20080922
EP 2190829	A2	20100602	EP 2008-804562	20080922

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US 20100197670	A1	20100805	US 2010-679620	20100323

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WO 2008-EP62636 20080922
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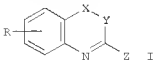
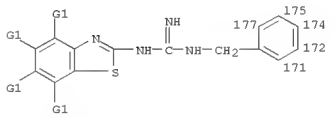


I

ABSTRACT:
The invention relates to amidine, thiourea, and guanidine derivs. of appropriately substituted 2-aminobenzothiazoles, 2-amino-3,1-4H-benzothiazines, and 3-amino-1,4-3H-benzothiazines of formula I (X = bond, CH2, S; Y = CH2, S; Z = amidine, thiourea, guanidine group; R = H, F, Cl, OMe, OCF3, CF3, SO2Me), the related pharmaceutically acceptable salts and solvates thereof. The use of the compds. and the corresponding pharmaceutical formulations for the treatment of neurodegenerative pathologies such as cerebral ischemia, neurodegeneration induced by cranial trauma, Alzheimer's disease, multiple sclerosis, and amyotrophic lateral sclerosis and the method for preparation of the compds. are also claimed. Thus N,N-dimethyl-N'-[6-(trifluoromethoxy)benzothiazol-2-

L9 ANSWER 2 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)
yl]acetamidine was synthesized by the reaction of 6-(trifluoromethoxy)-2-aminobenzothiazole and N,N-dimethylacetamide and showed remarkable redn. in glutamate release at concn. of 0.1-1 μM when neuronal damage was assessed as glutamate release during the period of re-oxygenation using an in vitro model of ischemia.

MSTR 1 Assembled



171, 172, 174, 175, 177: opt. substd. by (up to 2) OMe
Patent location: claim 1
Note: or pharmaceutically acceptable salts and/ solvates
Note: substitution is restricted
Note: and tautomers

L9 ANSWER 3 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 149:143942 MARPAT
TITLE: Combination treatment of solid cancers with antimetabolites and tyrosine kinase inhibitors
INVENTOR(S): Moussy, Alain; Kinet, Jean-Pierre
PATENT ASSIGNEE(S): Ab Science, Fr.
SOURCE: PCT Int. Appl., 49pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008084103	A1	20080717	WO 2008-EP50300	20080111
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EP 2117531	A1	20091118	EP 2008-707865	20080111
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JP 2010515708	T	20100513	JP 2009-545188	20080111
US 20100093750	A1	20100415	US 2009-522604	20090709
PRIORITY APPLN. INFO.:			US 2007-884743P	20070112
			WO 2008-EP50300	20080111

ABSTRACT:
The invention discloses a method for treating solid cancers including non-small cell lung cancer, pancreatic, bladder, breast and ovarian cancer as well as advanced biliary tract cancers, comprising administering at least one antineoplastic agent such as a nucleotide analog, for example gemcitabine, or an antimitotic such as docetaxel, in combination with a tyrosine kinase inhibitor selected from 2-aminoarylthiazoles (e.g. masitinib) and 2-aminoaryloxazoles.

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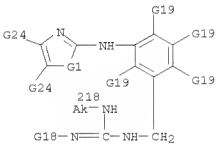

L9 ANSWER 3 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)

218: alkyl <containing 1-4 C> (opt. substd.)
G18 = thiazolyl
G19 = alkoxy <containing 1-6 C> (opt. substd.)
Patent location: claim 1

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 147:227229 MARPAT
TITLE: Compounds and methods for modulating protein trafficking and their use for treatment of associated diseases
INVENTOR(S): Bulawa, Christine; Devit, Michael
PATENT ASSIGNEE(S): Foldrx Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 237 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007089548	A2	20070809	WO 2007-US2102	20070126
WO 2007089548	A3	20080612		
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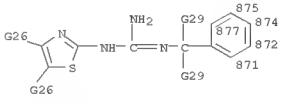
			WO 2007-US2102	20070126

ABSTRACT:
Disclosed are compns. and methods for modulating protein trafficking and treating or preventing disorders characterized by impaired protein trafficking. Also disclosed are methods for identification of compds. that rescue protein trafficking defects and methods of enhancing protein production Certain compds. that rescue cells from α-synuclein toxicity also to restore growth of yptlts cells, where the yeast mutant cell line yptlts contains an allele of YPT1 that has two point mutations with a recessive loss of protein trafficking phenotype at the restrictive temps. In addition, doxorubicin, cycloheximide, hygromycin, novobiocin, aureobasidin, tunicamycin, and proteosome inhibitors such as bortezomib, also restore growth of a yptlts mutant. Thus, these compds. can be used to treat or prevent a variety of disorders characterized by impaired protein trafficking. Compds. identified in the yptlts mutant rescue screening assay can stabilize the ΔF508 CFTR protein, and thus are useful in treating cystic fibrosis. Compds. were also identified that restore growth of temperature-sensitive mutants of the SAR1 and SEC23 genes.

MSTR 1 Assembled

L9 ANSWER 4 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)



871, 872, 874, 875, 877: opt. substd. by OMe
Patent location: claim 1
Note: additional substitution also claimed
Note: or pharmaceutically acceptable derivatives

L9 ANSWER 5 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 146:229352 MARPAT
TITLE: Substituted benzimidazole compounds as dual nitric oxide synthase inhibitors and μ-opioid agonists, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Renton, Paul; Maddaford, Shawn; Rakhit, Suman; Andrews, John
PATENT ASSIGNEE(S): Neuraxon, Inc., Can.
SOURCE: PCT Int. Appl., 139pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007017764	A2	20070215	WO 2006-IB3075	20060518
WO 2007017764	A3	20070705		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006277684	A1	20070215	AU 2006-277684	20060518
CA 2607219	A1	20070215	CA 2006-2607219	20060518
AR 54045	A1	20070530	AR 2006-102018	20060518
EP 1888568	A2	20080220	EP 2006-809164	20060518
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
US 20080214613	A1	20080904	US 2006-436393	20060518
US 7919510	B2	20110405		
JP 2008540638	T	20081120	JP 2008-511824	20060518
PRIORITY APPLN. INFO.:			US 2005-682043P	20050518
			WO 2006-IB3075	20060518

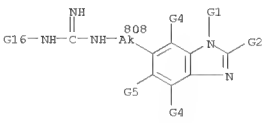
OTHER SOURCE(S): CASREACT 146:229352
GRAPHIC IMAGE:

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

ABSTRACT:
The invention relates to benzimidazole compds. of formula I, which express dual nitric oxide synthase (NOS) inhibitory activity and agonist activity at the μ- opioid receptor. In compds. I, R1 is (un)substituted C1-6 alkyl, (un)substituted C1-4 alkyl-aryl, or (un)substituted C1-4 alkyl-heterocyclyl; R2 is selected from H, halo, (un)substituted C1-6 alkyl, (un)substituted C6-10 aryl, (un)substituted C1-4 alkyl-aryl, (un)substituted C2-9 bridged heterocyclyl, (un)substituted C1-4 alkyl-bridged heterocyclyl, (un)substituted C2-9 heterocyclyl, and (un)substituted C1-4 alkyl-heterocyclyl; R3 and R4 are

L9 ANSWER 5 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)
independently selected from H, F, C1-6 alkyl, and C1-6 alkoxy; R5 is H, R7C(=NH)NH(CH2)p, R7NHC(=NH)NH(CH2)p, or R7NHC(=S)NH(CH2)p, where p is 0-2 and R7 is (un)substituted C1-6 alkyl, (un)substituted C6-10 aryl, (un)substituted C1-4 alkyl-aryl, (un)substituted C2-9 heterocyclyl, etc.; and R6 is H, R8C(=NH)NH(CH2)q, R8NHC(=NH)NH(CH2)q, or R8NHC(=S)NH(CH2)q, where q is 0-2 and R8 is nitro, (un)substituted C1-6 alkyl, (un)substituted C6-10 aryl, (un)substituted C1-4 alkyl-aryl, (un)substituted aroyl, etc.; wherein one, but not both, of R5 and R6 are H; including pharmaceutically acceptable salts or prodrugs thereof. The invention also relates to the prepn. of I, pharmaceutical compns. comprising a compd. I and a pharmaceutically acceptable excipient, as well to the use of the compns. for the treatment or prevention of chronic pain, acute pain, migraine, and neuropathic pain. Substitution of chloro-2,4-dinitrobenzene with N,N-diethylethylenediamine followed by redn. and amidation with 4-ethoxyphenylacetic acid gave amide II, which underwent intramol. heterocyclization, hydrogenation, and coupling with Me thiophene-2-carboximidothioate hydriodide to give benzimidazole III. The compds. of the invention have dual activity as NOS inhibitors and μ-opioid agonists as exemplified by compd. III, which expresses IC50 values of 0.44 μM and 4.7 μM towards human neuronal NOS and human endothelial NOS, resp., and IC50 value of 13 nM for binding and EC50 of 0.34 μM for function of μ-opioid receptors.

MSTR 1 Assembled



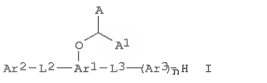
808: alkylene <containing 1-2 C>
G4 = alkoxy <containing 1-6 C> (opt. substd.)
G16 = thiazolyl
Patent location: claim 1
Note: or pharmaceutically acceptable salts or prodrugs
Note: substitution is restricted
Note: additional substitution also claimed
Note: additional oxo substitution also claimed

L9 ANSWER 6 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 144:36334 MARPAT
TITLE: Preparation of phenyl benzoyl pyrazoles as CRTH2 receptor ligands
INVENTOR(S): Ulven, Trond; Frimurer, Thomas; Rist, Oeystein; Kostenis, Evi; Hoegberg, Thomas; Receveur, Jean-Marie; Grimstrup, Marie
PATENT ASSIGNEE(S): 7TM Pharma A/S, Den.
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005115382	A1	20051208	WO 2005-EP5884	20050530
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005247110	A1	20051208	AU 2005-247110	20050530
AU 2005247110	B2	20100826		
CA 2568766	A1	20051208	CA 2005-2568766	20050530
EP 1758579	A1	20070307	EP 2005-770220	20050530
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 1980664	A	20070613	CN 2005-80022625	20050530
CN 1980664	B	20110223		
BR 2005011676	A	20080108	BR 2005-11676	20050530
JP 2008500991	T	20080117	JP 2007-513846	20050530
SG 153071	A1	20090629	SG 2009-3340	20050530
NZ 551810	A	20100930	NZ 2005-551810	20050530
EP 2336113	A1	20110622	EP 2010-177122	20050530
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
MX 2006013912	A	20070718	MX 2006-13912	20061129
ZA 2006010597	A	20080130	ZA 2006-10597	20061215
ZA 2006010598	A	20080130	ZA 2006-10598	20061215
CR 8838	A	20090112	CR 2006-8838	20061222
NO 2006006048	A	20070227	NO 2006-6048	20061228
KR 2007045153	A	20070502	KR 2006-7027504	20061228
IN 2006CN04778	A	20070629	IN 2006-CN4778	20061228
US 20090099189	A1	20090416	US 2008-597873	20080924
PRIORITY APPLN. INFO.:			GB 2004-12198	20040529
			GB 2004-14196	20040624
			GB 2004-24018	20041029
			EP 2005-770220	20050530
			WO 2005-EP5884	20050530

OTHER SOURCE(S): CASREACT 144:36334
GRAPHIC IMAGE:

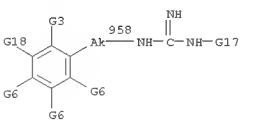
L9 ANSWER 6 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)



I

ABSTRACT:
Title compds. I [A = carboxy, carboxy bioisostere; A1 = H, Me; Ar1 = (un)substituted heteroaryl in which the groups OCHAA1 and L2 are linked to adjacent ring atoms; Ar2-3 = heteroaryl; n = 0-1; L2-3 = divalent radical (Alk1)m-Zq-(Alk2)p; m, q, p = 0-1; Alk1-2 = alkylene which may be heteroatom substituted, etc.; Z = O, S, CO SO2, etc.; with some provisions] are prepared For instance, 4-bromo-2-((1-phenyl-1H-pyrazole-4-yl)carbonyl)phenoxyacetic acid (II) is prepared in 2 steps from (5-bromo-2-hydroxyphenyl)(1-phenyl-1H-pyrazol-4-yl)methanone and Et bromoacetate. II has an IC50 < 0.5 μM for the CRTH2 receptor. I are useful for the treatment of disease responsive to modulation of CRTH2 receptor activity, such as asthma, rhinitis, allergic airway syndrome, and allergic rhinobronchitis.

MSTR 1 Assembled



958: alkylene <containing 1-3 C>
G6 = CF3
G17 = thiazolyl
Patent location: claim 1
Note: substitution is restricted
Note: additional heteroatom interruptions also claimed
Note: or salts, hydrates, or solvates

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 143:266930 MARPAT
TITLE: Guanidine compounds and their use as ligands for 5HT receptors
INVENTOR(S): Netz, Astrid; Amberg, Wilhelm; Lange, Udo; Ochse, Michael; Kling, Andreas; Hutchins, Charles W.; Garcia-Ladona, Francisco-Xavier; Wernet, Wolfgang
PATENT ASSIGNEE(S): Abbott GmbH & Co. Kg, Germany
SOURCE: Ger. Offen., 52 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102004008141	A1	20050901	DE 2004-102004008141	20040219
WO 2005082871	A2	20050909	WO 2005-EP1521	20050215
WO 2005082871	A3	20051110		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1716127	A2	20061102	EP 2005-707406	20050215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS				
JP 2007523113	T	20070816	JP 2006-553516	20050215
JP 4658073	B2	20110323		
MX 2006009434	A	20070321	MX 2006-9434	20060818
US 20070299074	A1	20071227	US 2007-590265	20070614

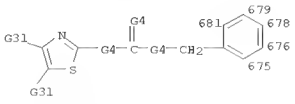
PRIORITY APPLN. INFO.: DE 2004-102004008141 20040219
WO 2005-EP1521 20050215
GRAPHIC IMAGE:

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

ABSTRACT:
The present invention concerns guanidine compds., e.g., I [R1, R2, R3 = H, OH, CN, (un)substituted C1-6-alkyl, C1-4-alkoxy, C2-6-alkenyl, C3-12-alkynyl, CO-(C1-6-alkyl), CO2-(C1-6-alkyl), etc.; R4, R5 = H, halogen, CN, CF3, CHF2, C1-10-alkyl, Ph, naphthyl, heteroaryl, etc.; R4R5 = (un)substituted 4- to 7-membered ring, optionally containing addnl. O, S, N; Q = Q1, Q2, Q3, Q4, Q5, Q6; W = W1, W2; Z = (CRz1Rz2)a(V)b(CRz3Rz4)c; A, D = NO2, NH2, OH, CN, CF3, OCF3, CHF2, OCHF2, CO2H, OCH2CO2H, halogen, SH, etc.; B = H, A; R' = H, OH, halogen, NO2, NH2, CN, CF3, CHF2, OCF3, OCHF2, (un)substituted C1-6-alkyl, C3-7-cycloaalkyl, (C1-6-alkene)-O-(C1-6-alkyl), C2-6-alkenyl, C3-12-alkynyl, CO-(C1-6-alkyl), CO2-(C1-6-alkyl), SO2-(C1-6-alkyl), etc.; a = 0 - 4; b = 0, 1; c = 0 - 4; Rz1, Rz2, Rz3, Rz4 = H, halogen, OH, etc.; E = O, NRq1, S; V = CO,

L9 ANSWER 7 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)
CONR, NRCO, O, S, SO, SO2, SO2NR, NRSO2, CS, CSNR, NRCS, etc.; Rq1 = H, C1-4-alkyl, CO-(C1-4-alkyl),SO2-(C1-4-alkyl), CO2-(C1-4-alkyl), etc.] , their enantiomers, diastereomers and/or tautomeric forms as well as pharmaceutical acceptable salts thereof. Thus, N-(2-methoxybenxyl)-N'-(11,3-thiazol-2-ly)guanidine (II) was prepd. from 2-aminothiazole via reaction with thiocarbonyldiimidazole in MeCN, ammonolysis with NH4OAc in EtOH, N-methylation in MeOH and amidation with 2-MeOC6H4CH2NH2 in EtOH. Further the present compd. concerns the use of guanidine compds. as ligands for 5HT receptors for the treatment of diseases, which are modulated by a 5HT receptor activity, in particular for the treatment of neurodegenerative and neuropsychiatric disturbances as well as the signs, symptoms and malfunctions which are connected with it. The pharmacol. activity off II was detd. [Ki = 50 nM].

MSTR 1 Assembled



675, 676, 678, 679, 681: opt. substd. by OH
G4 = NH
Patent location: claim 1
Note: and pharmaceutically acceptable salts and tautomers
Note: substitution is restricted
Note: additional substitution also claimed
Stereochemistry: and enantiomers and diastereomers

L9 ANSWER 8 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 143:229891 MARPAT
TITLE: Diazabicyclic aryl derivatives as nicotinic acetylcholine receptor ligands, their preparation and pharmaceutical compositions
INVENTOR(S): Peters, Dan; Olsen, Gunnar M.; Nielsen, Elsebet Ostergaard; Jorgensen, Tino Dyhring; Ahring, Philip K.; Timmermann, Daniel B.
PATENT ASSIGNEE(S): Neurosearch A/S, Den.
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005075482	A1	20050818	WO 2005-EP50405	20050201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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AU 2005210039	A1	20050818	AU 2005-210039	20050201
AU 2005210039	B2	20100902		
CA 2555311	A1	20050818	CA 2005-2555311	20050201
EP 1713810	A1	20061025	EP 2005-716606	20050201
EP 1713810	B1	20101229		
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CN 1922186	A	20070228	CN 2005-80004139	20050201
CN 100432075	C	20081112		
BR 2005006881	A	20070626	BR 2005-6881	20050201
JP 2007520527	T	20070726	JP 2006-551845	20050201
RU 2367665	C2	20090920	RU 2006-127577	20050201
NZ 548181	A	20100326	NZ 2005-548181	20050201
AT 493414	T	20110115	AT 2005-716606	20050201
US 20080227772	A1	20080918	US 2006-586749	20060721
MX 2006008749	A	20061030	MX 2006-8749	20060802
IN 2006CN02846	A	20070706	IN 2006-CN2846	20060803
HK 1098468	A1	20090821	HK 2007-104767	20070504
US 20100130482	A1	20100527	US 2010-652512	20100105

PRIORITY APPLN. INFO.: DK 2004-169 20040204
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DK 2004-839 20040528
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WO 2005-EP50405 20050201
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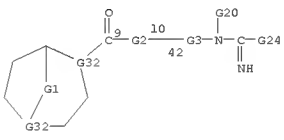
OTHER SOURCE(S): CASREACT 143:229891
GRAPHIC IMAGE:

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

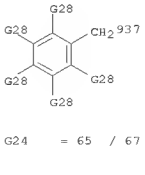
ABSTRACT:

L9 ANSWER 8 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)
The invention relates to a group of diazabicyclic aryl derivs. I, including its enantiomers, N-oxides, prodrugs, and pharmaceutically acceptable salts, which are cholinergic ligands at the nicotinic acetylcholine receptors. In compds. I, n is 1-3; X and Y are independently selected from (un)substituted arom. monocyclic/polycyclic carbocycles/heterocycles; Z is an (un)substituted monocyclic heterocycle, amino, (thio)carbonylamino, imidamido, ureido, thioureido, or guanidino; and L is a bond, CH2, CH2CH2, CH=CH, C.tplbond.C, O, S, SCH2, etc. The invention also relates to the prepn. of I, pharmaceutical compns. contg. I or a pharmaceutically acceptable salt of I, together with at least one pharmaceutically acceptable carrier or diluent, as well as to the use of the compns. for the treatment of diseases and disorders assocd. with nicotinic acetylcholine receptors. 3-Quinuclidinone hydrochloride was condensed with hydroxylamine and ring expansion followed by redn. with LiAlH4 resulted in the formation of 1,4-diazabicyclo[3.2.2]nonane (II). II was acylated with 5-(4-nitrophenyl)-2-furoyl chloride (prepn. in situ from the corresponding acid is given) to give III. Palladium-catalyzed hydrogenation of III followed by addn. to Et isocyanate gave diazabicyclic deriv. IV. Compd. IV expressed IC50 value of 0.56 nM in a study on the inhibition of [3H]-α-bungarotoxin in rat brain, representing the α7-subtype of nicotinic receptors.

MSTR 1 Assembled



G20 = 937 / thiazolyl



G24 = 65 / 67

G25 = NH
G28 = OH
Patent location: claim 1
Note: or pharmaceutically acceptable addition salts, n-oxides, or prodrugs
Stereochemistry: or enantiomers or mixtures

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)

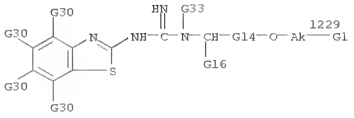
L9 ANSWER 9 OF 32 MARPAT COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 141:162350 MARPAT
TITLE: Salts and solvates of glucagon antagonists
INVENTOR(S): Horvath, Karol; Jensen, Anette Frost; Rasmussen, Kaare Gyberg; Junager, Finn Broni; Ekelund, Ole; Christophersen, Claus; Korno, Hanne Tofting
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 188 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063147	A1	20040729	WO 2004-DK13	20040112
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ				
PRIORITY APPLN. INFO.:			DK 2003-19	20030110
			DK 2003-20	20030110
			US 2003-439760P	20030113
			US 2003-439996P	20030114

ABSTRACT:
The invention relates to salts and solvates of glucagon antagonists such as 3-{4-[1-(4-cyclohex-1-enylphenyl)-3-(3,5-dichlorophenyl)ureidomethyl]-benzoylamino}-2R-hydroxypropionic acid (I). Thus, I was dissolved in EtOAc and THF and treated with N,N'-dibenzylethylenediamine to give a salt. This salt showed improved stability.

MSTR 2A Assembled



1229: alkylene <containing 1 or more C>
G14 = phenylene (opt. substd. by (1-2) G15)
Patent location: claim 21
Note: additional ring formation also claimed
Note: or tautomers, or pharmaceutically acceptable salts
Stereochemistry: and isomers

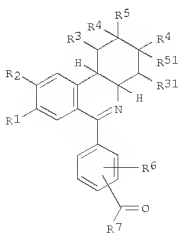
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 10 OF 32 MARPAT COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 140:235725 MARPAT
TITLE: Preparation of 6-phenylphenanthridine derivatives as phosphodiesterase 4 (PDE4) inhibitors
INVENTOR(S): Kley, Hans-Peter; Hatzelmann, Armin; Barsig, Johannes; Marx, Degenhard; Flockerzi, Dieter; Schmidt, Beate; Weinbrenner, Steffen
PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018431	A2	20040304	WO 2003-EP8967	20030813
WO 2004018431	A3	20040422		
W: AE, AL, AU, BA, BR, CA, CN, CO, DZ, EC, EE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2495597	A1	20040304	CA 2003-2495597	20030813
AU 2003253408	A1	20040311	AU 2003-253408	20030813
EP 1537086	A2	20050608	EP 2003-792307	20030813
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005537312	T	20051208	JP 2004-530135	20030813
US 20060116518	A1	20060601	US 2005-524634	20050216
HR 2005000228	A2	20060731	HR 2005-228	20050309
PRIORITY APPLN. INFO.:			EP 2002-18530	20020817
			WO 2003-EP8967	20030813

GRAPHIC IMAGE:



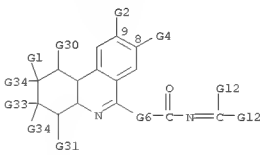
I

ABSTRACT:
The title compds. [I; R1, R2 = HO, C1-4 alkoxy, C3-7 cycloalkoxy, C3-7 cycloalkylmethoxy or completely or predominantly fluorine-substituted C1-4 alkoxy; or R1 and R2 together are a C1-2 alkylenedioxy group; R3, R31 = H, C1-4 alkyl; or R3 and R31 together are a C1-4 alkylene group; R4 = H, C1-4 alkyl and

L9 ANSWER 10 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)

R51 = H; or R5 and R51 together represent an addnl. bond; R6 = H, halogen, nitro, C1-4 alkyl, CF3, C1-4 alkoxy; R7 = (un)substituted guanidino, heterocyclylamino, l-heterocyclyl-l-(imino)methyl, etc.] or salts thereof, as well as N-oxides, enantiomers, E/Z isomers, or tautomers thereof and their salts are prepd. These compds. I are useful for producing pharmaceutical compns. for treating respiratory disorders and/or dermatoses. Also disclosed is a method for treating an illness treatable by administration of a PDE4 inhibitor in a patient comprising administering to said patient in need thereof a therapeutically effective amt. of a compd. of formula I, in particular airway disorders. N'-[l-[4-[(4aR,10bR)-8,9-Dimethoxy-1,2,3,4,4a,10b-hexahydrophenanthridin-6-yl]phenyl]methanoyl]-N,N-diethylguanidine. Thus, 4.9 g l,l-diethylguanidinium sulfate was suspended in 120 mL MeCN, treated with 720 mg NaOH in 25 mL MeOH, and stirred at room temp. for l h. The solvent was evapd. and the residue was suspended in 200 mL CH2Cl2, treated with 5.2 g Na2CO3 and then dropwise with a soln. of 4.2 g 4-[(4aR,10bR)-8,9-dimethoxy-1,2,3,4,4a,10b-hexahydrophenanthridin-6-yl]benzoyl chloride hydrochloride in 200 mL CH2Cl2 dropwise, and stirred at room temp. for 15 h to give, after workup and silica gel chromatog., N'-[l-[4-[(4aR,10bR)-8,9-Dimethoxy-1,2,3,4,4a,10b-hexahydrophenanthridin-6-yl]phenyl]methanoyl]-N,N-diethylguanidine (II). 12 Compds. I including II showed -logIC50 (mol/L) of >8 against phosphodiesterase 4.

MSTR 1 Assembled



G12 = l151
G24—NH l151

G23 = Ph (opt. substd. by (1-2) G25)
G24 = alkyl <containing 1-4 C>
(substd. by 1 or more G23) / 198

G25 = alkoxy <containing 1-4 C>
Patent location: claim 1
Note: substitution is restricted
Note: or salts, N-oxides, or tautomers
Stereochemistry: or enantiomers or E/Z isomers

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 11 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 140:235693 MARPAT
TITLE: Preparation of benzonaphthyridines as phosphodiesterase PDE4 or PDE3/4 inhibitors.
INVENTOR(S): Kautz, Ulrich; Schmidt, Beate; Weinbrenner, Steffen; Hatzelmann, Armin; Barsig, Johannes; Marx, Degenhard; Kley, Hans-Peter; David, Michael; Rocker, Dirk; Flockerzi, Dieter
PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018465	A2	20040304	WO 2003-EP8996	20030813
WO 2004018465	A3	20040527		
WO 2004018465	A9	20050915		

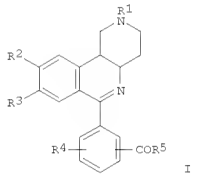
W: AE, AL, AU, BA, BR, CA, CN, CO, DZ, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR

CA 2495603	A1	20040304	CA 2003-2495603	20030813
AU 2003263216	A1	20040311	AU 2003-263216	20030813
EP 1581533	A2	20051005	EP 2003-792314	20030813

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

JP 2005537313	T	20051208	JP 2004-530142	20030813
HR 2005000227	A2	20060630	HR 2005-227	20050309
PRIORITY APPLN. INFO.:			EP 2002-18529	20020817
			WO 2003-EP8996	20030813

GRAPHIC IMAGE:

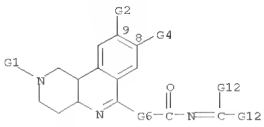


I

ABSTRACT:
Title compds. [I; R1 = alkyl; R2, R3 = OH, alkoxy, cycloalkoxy, cycloalkylmethoxy, (fluoro)alkoxy; R2R3 = alkylenedioxy; R4 = H, halo, NO2, alkyl, CF3, alkoxy; R5 = NR6C(:NR7)NR8R9, N:C(NR10R11)NR13R12, etc.; R6-R9 = H,

L9 ANSWER 11 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)
alkyl, cycloalkyl, cycloalkylmethyl, cyano, hydroxyalkyl, alkoxyalkyl, etc.; R8R9N = (substituted) piperazinyl, azocanyl, azonanyl, azecanyl, tetrahydroisoquinolinyl, etc.; R10, R11 = H, alkyl, cycloalkyl, cycloalkylmethyl, hydroxyalkyl, alkoxyalkyl, etc.; R10R11N = 2,6-dimethylmorpholin-4-yl, 2,6-dimethylpiperidin-1-yl, 1H-1,2,4-triazol-1-yl, etc.; R12R13N = (substituted) piperazin-1-yl, azocan-1-yl, azonan-1-yl, azecan-1-yl, tetrahydroisoquinolin-2-yl, 3,5-dimethylpyrazol-1-yl, 4-benzylpiperidin-1-yl, 1H-1,2,4-triazol-1-yl, etc.], were prepd. Thus, 1-[1-[4-(4aR,10bS)-9-ethoxy-8-methoxy-2-methyl-1,2,3,4,4a,10b-hexahydrobenzo[c][1,6]naphthyridin-6-ylphenyl]methanoyl]-2-methylisothiourea (prepn. given), hexamethyleneimine, and Et3N were stirred at 80° for 4 days to give N-(1-aminoazocan-1-ylmethylene)-4-[(4aR,10bS)-9-ethoxy-8-methoxy-2-methyl-1,2,3,4,4a,10b-hexahydrobenzo[c][1,6]naphthyridin-6-yl]benzamide. I inhibited PDE3 with -log IC50 = 6.3-8.3.

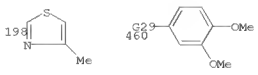
MSTR 1 Assembled



G12 = 1170

G24—NH 1170

G24 = 198 / 460



G29 = (1-2) CH2
Patent location: claim 1
Note: substitution is restricted
Note: or salts, N-oxides, or tautomers
Stereochemistry: or enantiomers or E/Z isomers

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

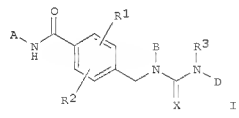
L9 ANSWER 12 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 139:69055 MARPAT
TITLE: Use of benzamide glucagon receptor antagonists/inverse agonists for the treatment of diabetes and related conditions
INVENTOR(S): Madsen, Peter; Behrens, Carsten
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051357	A1	20030626	WO 2002-DK847	20021212

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002351730	A1	20030630	AU 2002-351730	20021212
PRIORITY APPLN. INFO.:			DK 2001-1917	20011219
			WO 2002-DK847	20021212

GRAPHIC IMAGE:



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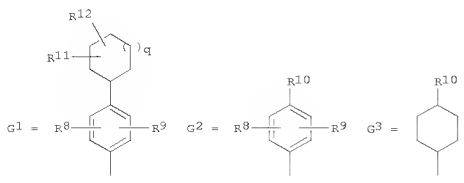
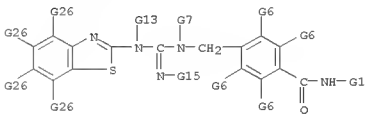


ABSTRACT:
The invention relates to title compds. I [wherein A = (CH2)n(CHR4)mCO2H or (CH2)n-tetrazol-5-yl; B = G1-G3; D = (un)substituted Ph, benzyl, 1,4-benzodioxanyl, 1,3-benzodioxanyl, isoindolyl, or benzothiazolyl; X = NCN, NCH2R15, CHNO2, or CHR15; R1 and R2 = independently H, halo, CF3, CF3O, CN,

L9 ANSWER 12 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)
CF3S, NO2, alkyl, alkoxy, OH, alkylthio, alkylsulfonyl, CF3SO2, or NR6R7; R3 = H or alkyl; R4 = H, F, or (CH2)pOR5; R6 and R7 = independently H or alkyl; R8 and R9 = independently H, halo, CF3, alkyl, or alkoxy; R10 = H, halo, CF3, CF3O, CN, CF3S, NO2, alkyl, MeS, cycloalkyl, or (un)substituted Ph; R11 and R12 = independently H or alkyl; R15 = H, CN, CF3, or (un)substituted alkyl; m = 0-1; n = 0-3; and m + n > 0; p = 0-1; q = 0-3; and diastereomers, enantiomers, tautomers thereof], which antagonize the action of the glucagon hormone on the glucagon receptor (no data). Synthetic methods for the prepn. of I and descriptions of glucagon binding assays are provided (no data). I and compns. comprising I may be suitable for the treatment of diseases and disorders for which glucagon antagonistic action is beneficial, such as hyperglycemia, type 1 diabetes, type 2 diabetes, disorders of the lipid metab. and obesity (no data).

MSTR 1 Assembled



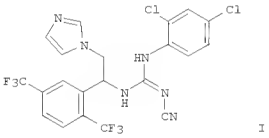
G6 = OCF3
Patent location: claim 1
Note: or tautomeric forms, or pharmaceutically acceptable salts
Stereochemistry: or diastereomers or enantiomers

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 13 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 139:53018 MARPAT
TITLE: Preparation of (1-phenyl-2-heteroaryl)ethylguanidines as inhibitors of mitochondrial F1F0 ATPase
INVENTOR(S): Atwal, Karnail S.; Grover, Gary J.; Ding, Charles Z.; Stein, Philip D.; Lloyd, John; Ahmad, Saleem; Hamann, Lawrence G.; Green, David; Ferrara, Francis N.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003050261	A2	20030619	WO 2002-US39478	20021210
WO 2003050261	A3	20040226		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002357137	A1	20030623	AU 2002-357137	20021210
US 20040039033	A1	20040226	US 2002-315818	20021210
US 6916813	B2	20050712		
EP 1450901	A2	20040901	EP 2002-804765	20021210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
PRIORITY APPLN. INFO.:			US 2001-339108P	20011210
			WO 2002-US39478	20021210

GRAPHIC IMAGE:

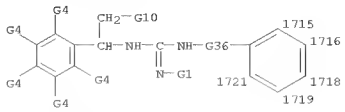


I

ABSTRACT:
ZCH2CHRNHC(:NR1)NR2R3 [Z = heteroaryl; R = (un)substituted Ph; R1 = CN, sulfonyl, acyl, heteroaryl; R2 = H, (un)substituted alkyl; R3 = H, (un)substituted alkyl, alkylthio, aminoalkyl, carbamoyl, aryl, aralkyl, heterocyclic, heterocyclylalkyl, cycloalkyl, cycloalkylalkyl; NR2R3 = heterocyclic] were prepd. and are useful for modulating mitochondrial F1F0 ATPase activity and treating ischemic conditions including myocardial infarction, congestive heart failure, and cardiac arrhythmias. Thus, 2,5-(F3C)2C6H3COCl was treated with MeMgBr to give 2,5-(F3C)2C6H3COMe, which was brominated to give 2,5-(F3C)2C6H3COCH2Br. This compd. was treated with imidazole, reduced to the alc., converted to the amine, and treated with 2,4-Cl2C6H3NHCONHCN to give the guanidine I.

L9 ANSWER 13 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)

MSTR 1 Assembled



1715, 1716, 1718, 1719, 1721: opt. substd. by 1 or more OCF3
G1 = thiazolyl
G36 = (1-4) CH2
Conditional variable data: IF G1 = CN THEN NOT G10 = 2-pyridyl
Patent location: claim 1
Note: additional substitution also claimed
Note: or pharmaceutically acceptable salts, hydrates, or prodrugs

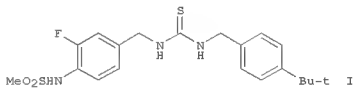
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 14 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 136:216541 MARPAT
TITLE: Preparation of novel thioureas as modulators for vanilloid receptor (VR)
INVENTOR(S): Suh, Young Ger; Oh, Uh Taek; Kim, Hee Doo; Lee, Jee Woo; Park, Hyeung Geun; Park, Ok Hui; Lee, Yong Sil; Park, Young Ho; Joo, Yung Hyup; Choi, Jin Kyu; Lim, Kyung Min; Kim, Sun Young; Kim, Jin Kwan; Koh, Hyun Ju; Moh, Joo Hyun; Jeong, Yeon Su; Yi, Jung Bum; Oh, Young Im
PATENT ASSIGNEE(S): Pacific Corporation, S. Korea
SOURCE: PCT Int. Appl., 245 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002016318	A1	20020228	WO 2001-KR1407	20010820
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2417507	A1	20020228	CA 2001-2417507	20010820
AU 2001080229	A	20020304	AU 2001-80229	20010820
KR 2002039226	A	20020525	KR 2001-50092	20010820
EP 1303483	A1	20030423	EP 2001-958602	20010820
EP 1303483	B1	20080423		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004506713	T	20040304	JP 2002-521194	20010820
NZ 523882	A	20041126	NZ 2001-523882	20010820
AU 2001280229	B2	20061207	AU 2001-280229	20010820
AT 393141	T	20080515	AT 2001-958602	20010820
CN 100439332	C	20081203	CN 2001-804353	20010820
US 20030153596	A1	20030814	US 2002-169805	20020709
IN 2003DN00163	A	20080208	IN 2003-DN163	20030213
IN 230823	A1	20090403		
MX 2003001535	A	20041213	MX 2003-1535	20030220
KR 2004044431	A	20040528	KR 2004-32384	20040507
KR 2004048393	A	20040609	KR 2004-36719	20040524
KR 2005090356	A	20050913	KR 2005-78835	20050826
KR 2005090357	A	20050913	KR 2005-78842	20050826
US 20080064687	A1	20080313	US 2007-727413	20070326
PRIORITY APPLN. INFO.:			KR 2000-48385	20000821
			KR 2000-48388	20000821
			KR 2000-85126	20001229
			KR 2001-50092	20010820
			KR 2001-50093	20010820
			WO 2001-KR1407	20010820
			US 2002-169805	20020709
			KR 2004-32384	20040507

GRAPHIC IMAGE:

L9 ANSWER 14 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)

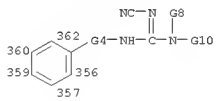


I

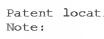
ABSTRACT:
The title compds. R2YC(:X)NHR1 [X = S, O, NCN; Y = a bond, NR3, O, S; R1 = (un)substituted benzyl, phenethyl;, pyridinylmethyl, pyrrolylmethyl, etc.; R2 = (CH2)nR8 (wherein n = 0-4; R8 = COPh, imidazolyl, indolyl, etc.)], useful as modulators for vanilloid receptor (VR), were prepared E.g., a 4-step synthesis of I which showed antagonistic potency 10 times higher than capsazepine in patchclamp test for vanilloid receptor, was given. As diseases associated with the activity of vanilloid receptor, pain acute pain, chronic pain, neuropathic pain, post-operative pain, migraine, arthralgia, neuropathies, nerve injury, diabetic neuropathy, neurodegeneration, neurotic skin disorder, stroke, urinary bladder hypersensitiveness, irritable bowel syndrome, a respiratory disorder such as asthma or chronic obstructive pulmonary disease, irritation of skin, eye or mucous membrane, fervescence, stomach-duodenal ulcer, inflammatory bowel disease and inflammatory diseases can be enumerated. The present invention provides a pharmaceutical composition for prevention or treatment of these diseases.

L9 ANSWER 14 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)

MSTR 1 Assembled



356, 357, 359, 360, 362: opt. substd. by (1-2) OH
G4 = (1-4) CH2
G10 = thiazolyl / 105



Patent location: claim 1
Note: or pharmaceutically acceptable salts

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

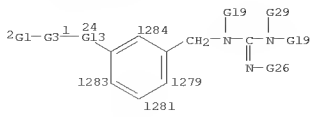
L9 ANSWER 15 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 136:167692 MARPAT
TITLE: Preparation of new biphenyl and biphenyl-analogous compounds as integrin antagonists
INVENTOR(S): Albers, Markus; Urbahns, Klaus; Vaupel, Andrea; Harter, Michael; Schmidt, Delf; Stelte-Ludwig, Beatrix; Gerdes, Christoph; Stahl, Elke; Keldenich, Jorg; Brueggemeier, Ulf; Lustig, Klemens
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: U.S. Pat. Appl. Publ., 256 pp., Division of U.S. Ser. No. 464,237.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020016461	A1	20020207	US 2001-828514	20010406
US 6677360	B2	20040113		
US 6420396	B1	20020716	US 1999-464237	19991215
US 20040030132	A1	20040212	US 2002-285073	20021031
US 7094911	B2	20060822		
PRIORITY APPLN. INFO.:			US 1998-172225P	19981216
			US 1999-464237	19991215
			US 1999-172217P	19991019
			US 2001-828514	20010406

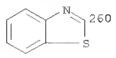
ABSTRACT:
Biphenylyl compds. R1O2CCHR2-U-V-A-B-W-NR3-C-R4 [R1 = H, (un)substituted alkyl, cycloalkyl, aryl, or (un)saturated heterocyclyl; R2 = H, (un)substituted alkyl, cycloalkyl, aryl, or (un)saturated heterocyclyl, alkenyl, alkynyl, -NR2'SO2R2'', -NR2'CO2R2', -NR2'COR2', -NR2'CONR2'2, -NR2'CSNR2'2 (R2' has same definition as R1 and R2'' has same definition as R1 except it is not H); U or W is a direct bond or (un)substituted alkylene; V = (un)substituted alkylene, -NR2'CO- or NR2'SO2-; A and B = (un)substituted 1,3- or 1,4-bridging phenylene group or a 2,4- or 2,5-bridging thienylene group, each of which may have substituents; C is a direct bond, CMe(:X-R5)-Y-N(R6)- (R5 is absent, H, (un)substituted alkyl or cycloalkyl, NO2, acyl, carboxylic or carboxylate group or is connected to R3, Y, R4 or R6, if present; R6 is H, (un)substituted alkyl, cycloalkyl, aryl, or (un)saturated heterocyclyl, an alkylamine or alkylamide residue, or is connected to one of R3, R4, Y, or R5, if present, to form a heterocyclic ring system; X = CHNO2, CHCN, O, N or S; Y is a direct bond or (un)substituted alkylene or alkyne group) or 3,4-dioxo-1,2-cyclobutenediyl-NR6-; R3, R4 = H, (un)substituted alkyl, cycloalkyl, aryl, or (un)saturated heterocyclyl, an alkylamine or alkylamide residue, or is connected to one of R4 (or R3), Y, R5 or R6, if present, to form a heterocyclic ring system] were prepared as integrin antagonists. For example, (2R,S)-3-[3-(pyridin-3-ylmethylureido)biphenyl-4-yl]-2-[2,4,6-trimethylbenzenesulfonylamino]propanoic acid, prepared by reactions of resin-bound (2R,S)-3-(4-bromophenyl)-2-(9-fluorenylmethoxycarbonylamino)propanoic acid with sulfonylating, boronic acid, and amine reagents (mesitylenesulfonyl chloride, 3-nitrobenzeneboronic acid, and 2-aminomethylpyridine), showed IC50 = 5 nM for binding to the αvβ3 receptor and IC50 = 480 nM in the smooth muscle cell migration test. Thus, the invention compds. are useful for the inhibition of angiogenesis and/or for therapy and prophylaxis of cancer, osteolytic diseases such as osteoporosis, arteriosclerosis, restenosis, rheumatoid arthritis, and ophthalmic disorders (no data).

L9 ANSWER 15 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)

MSTR 1 Assembled



1279, 1281, 1283, 1284: opt. substd. by 1 or more OMe
G19 = G29
G29 = 260



Patent location: claim 1
Note: and physiologically acceptable salts
Note: substitution is restricted
Note: additional ring formation and substitution also claimed
Stereochemistry: and stereoisomers

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 16 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 135:107149 MARPAT
TITLE: Synthesis, antibacterial activity and RNA polymerase inhibition of phenylamidine derivs.
INVENTOR(S): Li, Leping; Chen, Xiaoqui; Fan, Pingchen; Mihalic, Jeffrey Thomas; Cutler, Serena
PATENT ASSIGNEE(S): Tularik Inc., USA
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001051456	A2	20010719	WO 2001-US1219	20010112
WO 2001051456	A3	20011220		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2397575	A1	20010719	CA 2001-2397575	20010112
US 20020045749	A1	20020418	US 2001-759633	20010112
US 6780858	B2	20040824		
EP 1246795	A2	20021009	EP 2001-914329	20010112
EP 1246795	B1	20071031		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003519676	T	20030624	JP 2001-551838	20010112
AT 376996	T	20071115	AT 2001-914329	20010112
ES 2293980	T3	20080401	ES 2001-914329	20010112
US 20040235911	A1	20041125	US 2004-877408	20040625
US 7053234	B2	20060530		
US 20060270651	A1	20061130	US 2006-344111	20060201
US 7148259	B1	20061212		
PRIORITY APPLN. INFO.:			US 2000-175892P	20000113
			US 2001-759633	20010112
			WO 2001-US1219	20010112
			US 2004-877408	20040625

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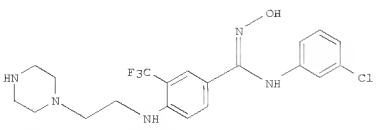
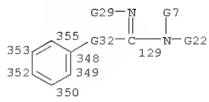


I

ABSTRACT:
Synthesis of hydroxyamidines, e.g. (I) and related compds. are disclosed which are suitable as antibacterial agents by their inhibition of RNA polymerase. Antibacterial activity against S. aureus and E. coli are given.

L9 ANSWER 16 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)

MSTR 1 Assembled



349, 350, 352, 353, 355: opt. substd. by (1-3) G20
G3 = alkylene <containing 1-2 C>
G5 = 107

G20 = alkoxy <containing 1-4 C>
(opt. substd. by 1 or more G21)
G22 = benzothiazolyl
G32 = 135-348 136-129 / 138-348 137-129

Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: additional substitution also claimed

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 17 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 134:4764 MARPAT
TITLE: Preparation of 3-(benzoylamino)propionic acid derivatives as glucagon antagonists/inverse agonists
INVENTOR(S): Ling, Anthony; Plewe, Michael Bruno; Truesdale, Larry Kenneth; Lau, Jesper; Madsen, Peter; Sams, Christian; Behrens, Carsten; Vagner, Josef; Christensen, Inge Thoger; Lundt, Behrend Frederik; Sidelmann, Ulla Grove; Thogersen, Henning
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Agouron Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 564 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000069810	A1	20001123	WO 2000-DK264	20000516
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6503949	B1	20000516	US 2000-572553	20000516
CA 2373892	A1	20001123	CA 2000-2373892	20000516
EP 1183229	A1	20020306	EP 2000-926725	20000516
EP 1183229	B1	20051026		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
BR 2000010651	A	20020319	BR 2000-10651	20000516
HU 2002001033	A2	20020729	HU 2002-1033	20000516
HU 2002001033	A3	20030328		
JP 2002544254	T	20021224	JP 2000-618228	20000516
AT 307798	T	20051115	AT 2000-926725	20000516
ES 2250128	T3	20060416	ES 2000-926725	20000516
ZA 2001008560	A	20020613	ZA 2001-8560	20011018
NO 2001005607	A	20020117	NO 2001-5607	20011116
MX 2001011757	A	20020604	MX 2001-11757	20011116
US 20030220350	A1	20031127	US 2002-233851	20020830
US 6875760	B2	20050405		
US 20050203108	A1	20050915	US 2004-980199	20041103
US 20090143592	A1	20090604	US 2007-949075	20071203

PRIORITY APPLN. INFO.:
DK 1999-684 19990517
DK 2000-478 20000321
US 1999-134415P 19990517
US 2000-191685P 20000323
US 2000-572553 20000516
WO 2000-DK264 20000516
US 2002-233851 20020830
US 2004-980199 20041103

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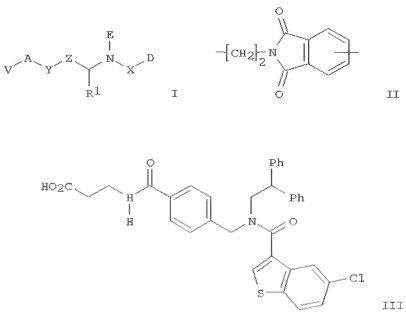
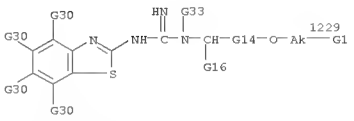
L9 ANSWER 17 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)



ABSTRACT:
The title compds. [I; V = CO2R2, CONR2R3, CONR2OR3, etc. (wherein R2, R3 = H, alkyl); A = (CH2)n(CR8R9)bNR7, (CR8R9)b(CH2)nNR7, (CR8R9)b(CH2)n, etc. (b = 0-1; n = 0-3; R7 = H, alkyl, (cycloalkyl)alkyl; R8, R9 = H, alkyl); Y = CO, SO2, O, a bond; Z = (un)substituted phenylene, divalent radical derived from 5-6 membered heteroarom. ring containing 1-2 heteroatoms selected from N, O and S; or AYZ together = II; R1 = H, alkyl; X = CO(CR13R14)r(CH2)s, SO2(CR13R14)r(CH2)s, CO2(CR13R14)r(CH2)s, etc. (r = 0-1; s = 0-3; R13, R14 = H, alkyl); D = (un)substituted Ph, pyridyl, cyclopropyl, etc.; E = (un)substituted quinolinyl, 2,5-dioxopiperidinyl, biphenylalkyl, etc.] which act to antagonize the action of the glucagon hormone on the glucagon receptor (data given), and therefore may be suitable for the treatment and/or prevention of any glucagon-mediated conditions and diseases such as hyperglycemia, Type 1 diabetes, Type 2 diabetes and obesity, were prepared and formulated. E.g., a multi-step solid phase synthesis of III was given. Compds. I are effective at 0.05-10 mg/kg/day.

MSTR 1A Assembled



1229: alkylene <containing 1 or more C>
G14 = phenylene (opt. substd. by (1-2) G15)

L9 ANSWER 17 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)
Patent location: claim 1
Note: additional ring formation also claimed
Note: or tautomers, or pharmaceutically acceptable salts
Stereochemistry: and isomers

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 18 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 133:43809 MARPAT
TITLE: Preparation of new biphenyl and biphenyl-analogous compounds as integrin antagonists
INVENTOR(S): Albers, Markus; Urbahns, Klaus; Vaupel, Andrea; Harter, Michael; Schmidt, Delf; Stelte-ludwig, Beatrix; Gerdes, Christoph; Stahl, Elke; Keldenich, Jorg; Bruggemeier, Ulf; Lustig, Klemens
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany; et al.; et al.
SOURCE: PCT Int. Appl., 360 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035864	A1	20000622	WO 1999-EP9843	19991213
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2355161	A1	20000622	CA 1999-2355161	19991213
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EP 1140809	B1	20050831		
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TR 2001002498	T2	20020221	TR 2001-2498	19991213
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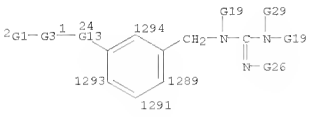
HU 2001005432	A3	20021228		
EE 2001000317	A	20020815	EE 2001-317	19991213
JP 2002532465	T	20021002	JP 2000-588126	19991213
NZ 512339	A	20030328	NZ 1999-512339	19991213
AU 761407	B2	20030605	AU 2000-24312	19991213
AT 303359	T	20050915	AT 1999-967934	19991213
ES 2249059	T3	20060316	ES 1999-967934	19991213
ZA 2001014432	A	20020530	ZA 2001-14432	20010530
IN 2001MN00637	A	20060505	IN 2001-MN637	20010601
BG 105574	A	20020131	BG 2001-105574	20010607
NO 2001002975	A	20010813	NO 2001-2975	20010615
MX 2001006132	A	20020108	MX 2001-6132	20010615
HR 2001000531	A2	20020831	HR 2001-531	20010716

PRIORITY APPLN. INFO.:
US 1998-213381 19981216
WO 1999-EP9843 19991213

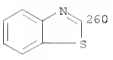
ABSTRACT:
Biphenylyl compds. R1O2CCHR2-U-V-A-B-W-NR3-C-R4 [R1 = H, (un)substituted alkyl, cycloalkyl, aryl, or (un)saturated heterocyclyl; R2 = H, (un)substituted alkyl, cycloalkyl, aryl, or (un)saturated heterocyclyl, alkenyl, alkynyl, -NR2'SO2R2'', -NR2'CO2R2', -NR2'COR2', -NR2'CONR2'2, -NR2'CSNR2'2 (R2' has same definition as R1 and R2'' has same definition as R1 except it is not H); U or W is a direct bond or (un)substituted alkylene; V = (un)substituted alkylene, -NR2'CO- or NR2'SO2-; A and B = (un)substituted 1,3- or 1,4-bridging phenylene group or a 2,4- or 2,5-bridging thienylene group, each of which may have substituents; C is a direct bond, CMe(:X-R5)-Y-N(R6)- (R5 is absent, H, (un)substituted alkyl or cycloalkyl, NO2, acyl, carboxylic or carboxylate group or is connected to R3, Y, R4 or R6, if present; R6 is H, (un)substituted alkyl, cycloalkyl, aryl, or (un)saturated heterocyclyl, an alkylamine or alkylamide residue, or is connected to one of R3, R4, Y, or R5, if present, to form a heterocyclic ring system; X =

L9 ANSWER 18 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)
CHNO2, CHCN, O, N or S; Y is a direct bond or (un)substituted alkylene or alkyne group) or 3,4-dioxo-1,2-cyclobutenediyl-NR6-; R3, R4 = H, (un)substituted alkyl, cycloalkyl, aryl, or (un)satd. heterocyclyl, an alkylamine or alkylamide residue, or is connected to one of R4 (or R3), Y, R5 or R6, if present, to form a heterocyclic ring system] were prepd. as integrin antagonists. Thus, (2R,S)-3-[3-(pyridin-3-ylmethylureido)biphenyl-4-yl]-2-[2,4,6-trimethylbenzenesulfonylamino]propanoic acid, prepd. by reactions of resin-bound (2R,S)-3-(4-bromophenyl)-2-(9-fluorenylmethoxycarbonylamino)propanoic acid with sulfonylating, boronic acid, and amine reagents (mesitylenesulfonyl chloride, 3-nitrobenzeneboronic acid, and 2-aminomethylpyridine), showed IC50 = 5 nM for binding to the αvβ3 receptor and IC50 = 480 nM in the smooth muscle cell migration test.

MSTR 1 Assembled



1289, 1291, 1293, 1294: opt. substd. by 1 or more OMe
G19 = G29
G29 = 260

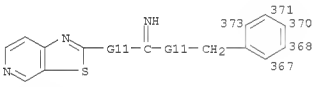


Derivative: and physiologically acceptable salts
Patent location: claim 1
Note: substitution is restricted
Note: additional ring formation and substitution also claimed
Stereochemistry: and stereoisomers

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 19 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)
influenza B, adenovirus, and HIVROJO.

MSTR 1 Assembled



367, 368, 370, 371, 373: opt. substd. by 1 or more OMe
G11 = NH
Patent location: claim 1
Note: or pharmaceutically acceptable salts

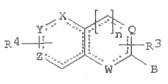
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 19 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 131:44810 MARPAT
TITLE: Preparation of naphthyridines and thiazolopyridines as antiviral agents
INVENTOR(S): Bedard, Jean; Rando, Robert; Lavallee, Jean-Francois; Falardeau, Guy
PATENT ASSIGNEE(S): Biochem Pharma Inc., Can.
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9929318	A1	19990617	WO 1998-CA1166	19981211
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2314408	A1	19990617	CA 1998-2314408	19981211
AU 9916579	A	19990628	AU 1999-16579	19981211
AU 740745	B2	20011115		
EP 1037633	A1	20000927	EP 1998-960978	19981211
EP 1037633	B1	20030910		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9815166	A	20001010	BR 1998-15166	19981211
US 6255318	B1	20010703	US 1998-209485	19981211
JP 2001525365	T	20011211	JP 2000-523989	19981211
AT 249219	T	20030915	AT 1998-960978	19981211
MX 2000005750	A	20020311	MX 2000-5750	20000609
US 20010031765	A1	20011018	US 2001-775571	20010205
US 6534520	B2	20030318		

PRIORITY APPLN. INFO.: US 1997-69331P 19971211
US 1998-209485 19981211
WO 1998-CA1166 19981211

GRAPHIC IMAGE:



I

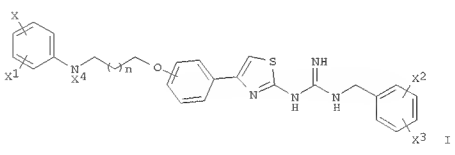
ABSTRACT:
The title compds. I [W = CH, CR3, CH2, CO, N, etc.; one of X, Y, and Z is N or NR5 while the other two are CH, CR4, CH2, CO, CHR4; Q = CH, CR3, CH2, CO, CHR3, N, NR5, O; B = C(A)NR1R2, NR2'C(A)R1, NR2'C(A)NR1R2 and A = O, N, S], antiviral agents, were prepared E.g., N-(2-methylbenzyl)-2-[1,6]naphthyridinecarboxamide was prepared Among the antiviral activities were those determined with HSV-1, HSV-2,

L9 ANSWER 20 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 130:237560 MARPAT
TITLE: Preparation of thiazolylguanidines as protease inhibitors.
INVENTOR(S): Christensen, Siegfried Benjamin, IV; Desjarlais, Renee Louise; Forster, Cornelia Jutta
PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9911637	A1	19990311	WO 1998-US18289	19980903
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2302361	A1	19990311	CA 1998-2302361	19980903
AU 9893002	A	19990322	AU 1998-93002	19980903
ZA 9808064	A	19990528	ZA 1998-8064	19980903
EP 1015438	A1	20000705	EP 1998-945850	19980903
R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 2001514257	T	20010911	JP 2000-508676	19980903

PRIORITY APPLN. INFO.: US 1997-57527P 19970904
WO 1998-US18289 19980903

GRAPHIC IMAGE:

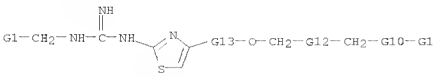


I

ABSTRACT:
Title compds. [I; X, X1, X2, X3 = H, alkyl, fluoroalkyl, C3-7 cycloalkyl, cyano, COR1, CO2R1, CONR1R2, C(NR1)NR1R2, C(NCN)NR1R2, C(NCN)SR3, NO2, NR1SO2R3, NR1COR1, NR1R2, NR1(C:NR1)NR1R2, NR1C(O)NR1R2, NR1COR1, NR1COOR3, NR1C(NCN)SR3, NR1C(NCN)NR1R2, NR1COCONR1R2, NR1COCOR2, Cl, Br, Iodo, F, OR1, O(CH2)qOR3, OCH2CH2OH, OC(O)R1, O(CH2)qCONR1R2, O(CH2)qCOR1, SR1, SO2NR1R2, S(O)mR3; m, n = 0-2; q = 1, 2; R1 = H, alkyl, CF3, CH2CF3; NR1R2 = 5-7 membered (heterocyclic) ring; R2 = H, alkyl, CF3, CH2CF3; R3 = alkyl, CF3, CH2CF3; X4 = H, alkyl, C3-7 cycloalkyl, COAr, alkoxycarbonyl, CO2Ar; Ar undefined], were prepared as inhibitors of proteases including cathepsin K for treatment of excessive bone loss, cartilage or matrix degradation including osteoporosis, gingivitis, periodontitis, arthritis, Paget's disease, hypercalcemia of malignancy, and metabolic bone disease (no data). Thus, 3-(4-chlorobutoxy)acetophenone (preparation given) in CH2Cl2 was treated with Br2 in

L9 ANSWER 20 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)
CH2Cl2 over 5 min. followed by 15 min. stirring to give a residue which in EtOH was treated with iminothiobiuret followed by 24 h reflux to give 80% N-[4-[3-(4-chlorobutoxy)phenyl]thiazol-2-yl]guanidine. This was N-BOC protected and N-benzylated to give N-benzyl-N-tert-butoxycarbonyl-N'-[4-[3-(4-chlorobutoxy)phenyl]thiazol-2-yl]guanidine, which was heated 4 days with N-methylaniline and NaI in DMF at 135° to give 36% N-benzyl-N'-[4-[3-[4-(N-methyl-N-phenyl)aminobutoxy]phenyl]thiazol-2-yl]guanidine.

MSTR 1 Assembled



G1 = Ph (opt. substd. by (up to 2) OH)
G12 = (0-2) CH2
Derivative: and pharmaceutically acceptable salts, hydrates, and solvates
Patent location: claim 1

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 21 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 126:157500 MARPAT
TITLE: Preparation of guanidinothiazole derivatives as histamine H2 antagonists
INVENTOR(S): Katsura, Yosuke; Oono, Mitsuko; Nishino, Shigetaka; Fuji, Tetsuo
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08337579	A	19961224	JP 1995-147529	19950614
PRIORITY APPLN. INFO.:			JP 1995-147529	19950614

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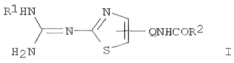
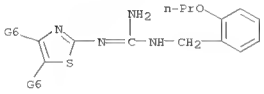


I

ABSTRACT:
The title compds. I [R1 = alkyl, etc.; R2 = alkyl, amino; Q = alkylene, etc.] are prepared 2-[(Amino)(butylamino)methyleneamino]-4-(3-acetylaminopropyl)thiazole oxalic acid salt at 1 mg/kg i. v. gave 100% inhibition of histamine-induced gastric acid secretion in rats.

MSTR 1 Assembled



Derivative: or pharmaceutically acceptable salts
Patent location: claim 1

L9 ANSWER 22 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 126:47211 MARPAT
TITLE: Preparation of 4-thienylthiazole derivatives as antiulcer and antibacterial agents
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08245621	A	19960924	JP 1996-35931	19960223
PRIORITY APPLN. INFO.:			GB 1995-4689	19950308

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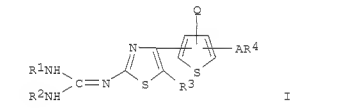
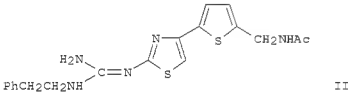


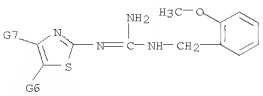
I



II

ABSTRACT:
The title compds. [I; R1 = (halo or alkoxy)aryl, cycloalkyl, alkenyl, (un)substituted aralkyl, R2, R3, Q = H, alkyl; R4 = acyl, acylamino; A = single bond, alkylene], which show excellent antibacterial activity against Helicobacter pylori, are prepared Thus, a suspension of 5-acetamidomethyl-2-chloroacetylthiophene 1.5, N-(2-phenylethyl)amidinothiourea, and NaHCO3 in ethanol was heated at 55° for 3.5 h to give 1.30 g the title compound [(diaminomethylene)amino]thienylthiazole derivative (II). II showed min. inhibitory concentration of <0.1 μg/mL against H. pylori.

MSTR 1 Assembled



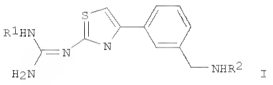
Derivative: and salts
Patent location: claim 1
Note: substitution is restricted

L9 ANSWER 22 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)

L9 ANSWER 23 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 125:58498 MARPAT
TITLE: Preparation of 4-(3-aminomethylphenyl)-2-thiazolylguanidines as H2-receptor antagonists
INVENTOR(S): Katsura, Yousuke; Tomishi, Tetsuo; Nishino, Shigetaka; Ohno, Mitsuko
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9605187	A1	19960222	WO 1995-JP1596	19950809
W: AU, BR, CA, CN, FI, HU, JP, KR, MX, NO, NZ, RU, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9531929	A	19960307	AU 1995-31929	19950809
JP 2000504305	T	20000411	JP 1995-507193	19950809
PRIORITY APPLN. INFO.:			GB 1994-16459	19940815
			WO 1995-JP1596	19950809

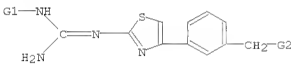
GRAPHIC IMAGE:



I

ABSTRACT:
Title compds. [I; R1 = alkoxy(alkyl), cyanoalkyl, phenyl(oxy)(alkyl), etc.; R2 = H, alkanoyl, CONH2] were prepared Thus, I [R1 = 2-(1-cyclohexenyl)ethyl, R2 = Ac] gave 100% inhibition of histamine-induced increase of guinea pig atrial strip contraction at 10-6g/mL in vitro.

MSTR 1



G1 = 20

G3 = alkylene <containing 1-6 C>

L9 ANSWER 23 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)
G4 = 24

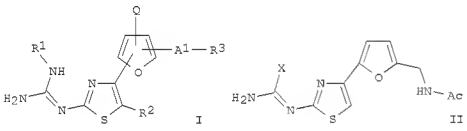
G5 = alkoxy <containing 1-6 C>
Derivative: and pharmaceutically acceptable salts
Patent location: claim 1

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 24 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 123:256700 MARPAT
TITLE: Furylthiazoles and their use as H2-receptor antagonists and antimicrobials
INVENTOR(S): Katsura, Yousuke; Ohno, Mitsuko; Nishino, Shigetaka; Tomishi, Tetsuo; Takasugi, Hisashi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9518126	A1	19950706	WO 1994-JP2278	19941228
W: AU, CA, CN, HU, JP, KR, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9512831	A	19950717	AU 1995-12831	19941228
JP 09507222	T	19970722	JP 1994-517925	19941228
PRIORITY APPLN. INFO.:			GB 1993-26611	19931231
			WO 1994-JP2278	19941228
OTHER SOURCE(S):		CASREACT 123:256700		

GRAPHIC IMAGE:

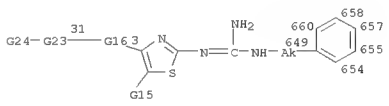


I II

ABSTRACT:
The invention relates to furylthiazole derivs. I [RI = pentyl, branched alkyl or alkenyl, certain alkoxyalkyl, aryl, aryloxy, etc.; R2 = H, alkyl; R3 = amino, acylamino; A1 = alkylene; Q = H, alkyl] and pharmaceutically acceptable salts, which have antiulcer, H2-receptor antagonizing, and antimicrobial activity. Also disclosed are processes for their preparation, pharmaceutical compns. comprising them, and their use in treatment of ulcers and infections. For example, condensation of the isothiourea derivative II.HI (X = MeS) with R1NH2 [R1 = cyclohexylmethyl (Q)] in refluxing EtOH gave title compound II (X = QNH). The latter had an MIC of < 0.2 μg/mL against Helicobacter pylori 8008 in vitro, and the compound II (X = PhCH2CH2CH2NH) gave 77.9% inhibition of ulcers at 32 mg/kg orally in mice in the HCl-aspirin ulcer test. Approx. 150 compds. I and salts are listed with characterizing phys. and spectral data.

MSTR 1 Assembled

L9 ANSWER 24 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)



649: alkylene <containing 1-6 C>
654, 655, 657, 658, 660: opt. substd. by (1-3) G25
G25 = alkoxy <containing 1-6 C>
Derivative: and Pharmaceutically acceptable salts
Patent location: claim 1
Note: also incorporates claim 8

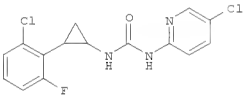
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 25 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 123:198634 MARPAT
TITLE: Preparation of N-[aryl(cyclo)alkyl)-N'-pyridylureas and analogs as HIV reverse transcriptase inhibitors
INVENTOR(S): Lind, Peter Thomas; Noreen, Rolf; Morin, John Michael; Ternansky, Robert John
PATENT ASSIGNEE(S): Medivir AB, Swed.
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9506034	A1	19950302	WO 1994-US9406	19940824
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2168447	A1	19950302	CA 1994-2168447	19940824
CA 2168447	C	20060711		
AU 9477153	A	19950321	AU 1994-77153	19940824
AU 687440	B2	19980226		
EP 706514	A1	19960417	EP 1994-927932	19940824
EP 706514	B1	19981118		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09502702	T	19970318	JP 1995-507689	19940824
JP 3869462	B2	20070117		
AT 173466	T	19981215	AT 1994-927932	19940824
ES 2123156	T3	19990101	ES 1994-927932	19940824
NZ 273741	A	20000623	NZ 1994-273741	19940824
US 5849769	A	19981215	US 1996-601030	19960503
US 6376492	B1	20020423	US 2000-567857	20000509
US 20020132794	A1	20020919	US 2002-76163	20020213
US 20040116418	A1	20040617	US 2003-725657	20031201

PRIORITY APPLN. INFO.: US 1993-110956 19930824
WO 1994-US9406 19940824
US 1996-601030 19960503
US 1998-114935 19980714
US 2000-567857 20000509
US 2002-76163 20020213

GRAPHIC IMAGE:

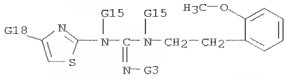


I

ABSTRACT:
R2R4NZNR1R3 [R1 = (heterocyclic) organic ring residue; R2 = CR7R9CR5R6R8; R3,R4 = H, OH, alk(en)yl, CONH2, etc.; R5 = groups cited for R1, NH2, OH, alkoxy, etc.; R6-R9 = H, (cyclo)alkyl, halo, NH2, CO2H, etc.; Z = CO, C(:NH), C(:CH2), SO2, etc.] were prepd. Thus, cis-2-(2-chloro-6-fluorophenyl)cyclopropylisocyanate (prepn. from 2-chloro-6-fluorobenzaldehyde given) was condensed with 2-amino-5-chloropyridine to give title compd. cis-I which had IC50 of 0.0004μg/mL against HIV reverse transcriptase in vitro.

L9 ANSWER 25 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)

MSTR 1 Assembled



Patent location: claim 1
Note: additional ring formation is allowed

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

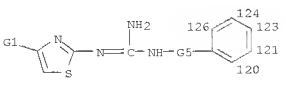
L9 ANSWER 26 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 122:178369 MARPAT
TITLE: H2-antagonists as immune stimulants in bacterial infections of cattle or swine
INVENTOR(S): Canning, Peter C.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9428898	A1	19941222	WO 1994-IB82	19940426
W: AU, BR, CA, CN, CZ, JP, KR, NO, NZ, PL, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2165344	A1	19941222	CA 1994-2165344	19940426
AU 9464363	A	19950103	AU 1994-64363	19940426
EP 703782	A1	19960403	EP 1994-912051	19940426
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08506353	T	19960709	JP 1995-501532	19940426
HU 70766	A2	19951030	HU 1994-1775	19940614

PRIORITY APPLN. INFO.: US 1993-77846 19930615
US 1993-122108 19930916
WO 1994-IB82 19940426

ABSTRACT:
Bacterial infections are prevented in cattle and swine by administration of the compds. previously used as H2-antagonists, such as 2-guanidino-4-(2-methyl-4-imidazolyl)thiazole (I), 2-guanidino-4-(2-N-n-hexylamino-4-imidazolyl)thiazole, ranitidine, cimetidine, famotidine, roxatidine, and nizatidine. Bacterial infections may be prevented or treated by administration of the H2-antagonists in combination with antibiotics. I.m. administration of I at 2 mg/kg to naturally infected calves reduced the incidence and severity of lung disease relative to the controls which received saline.

MSTR 2 Assembled



120, 121, 123, 124, 126: opt. substd. by (up to 2) OMe
G5 = (1-4) CH2
Derivative: or pharmaceutically acceptable acid addition salts
Patent location: claim 1

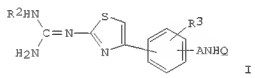
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 27 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 119:203405 MARPAT
TITLE: Preparation of guanidinothiazoles and their use as histamine H2-receptor antagonists
INVENTOR(S): Katsura, Yousuke; Tomishi, Tetsuo; Inoue, Yoshikazu; Takasugi, Hisashi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 49 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 545376	A1	19930609	EP 1992-120533	19921202
EP 545376	B1	19980909		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
ZA 9208876	A	19930715	ZA 1992-8876	19921117
AU 9229837	A	19930610	AU 1992-29837	19921202
AU 666893	B2	19960229		
JP 06321921	A	19941122	JP 1992-323052	19921202
JP 2531329	B2	19960904		
AT 170851	T	19980915	AT 1992-120533	19921202
CA 2084640	A1	19930607	CA 1992-2084640	19921204
HU 65776	A2	19940728	HU 1992-3849	19921204
CN 1079469	A	19931215	CN 1992-114939	19921205
US 5532258	A	19960702	US 1994-356967	19941216

PRIORITY APPLN. INFO.: GB 1991-25970 19911206
US 1992-978477 19921118

GRAPHIC IMAGE:



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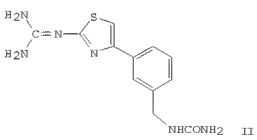
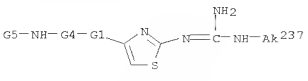


II

ABSTRACT:
Title compds. [I; R2 = H, (substituted) alkyl; R3 = H, alkyl, alkoxy, halo; A = alkylene; Q = COR1, (substituted) carbamimidoyl; R1 = organic group], were prepared Thus, 4-(3-aminomethylphenyl)-2-(diaminomethyleneamino)thiazole dihydrochloride (preparation given) was stirred with potassium isocyanate in H2O at room temperature for 8.5 h to give title compound II. II at 1 mg/kg i.v. in rats inhibited 99% gastric acid secretion.

L9 ANSWER 27 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)

MSTR 1 Assembled



237: alkyl (opt. substd. by 1 or more G11)
G11 = Ph (substd. by loweralkoxy)
Conditional variable data: IF G5 = 18 THEN G1 = phenylene (substd. by (1) loweralkoxy)
Derivative: and pharmaceutically acceptable salts
Patent location: claim 1

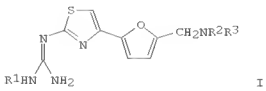
L9 ANSWER 28 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)
G8 = alkoxy <containing 1-3 C>
Derivative: and the pharmaceutically-acceptable acid-addition salts
Patent location: claim 1

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 28 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 111:77998 MARPAT
TITLE: Preparation and testing of 2-guanidino-4-(2-furyl)thiazoles as antiulcer agents
INVENTOR(S): Reiter, Lawrence A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 9 pp. Cont. of U.S. Ser. No. 918,946, abandoned. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4814341	A	19890321	US 1988-185249	19880419
PRIORITY APPLN. INFO.:			US 1986-918946	19860826

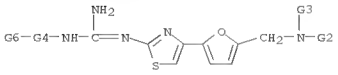
OTHER SOURCE(S): CASREACT 111:77998
GRAPHIC IMAGE:



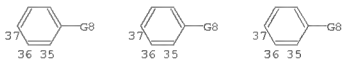
I

ABSTRACT:
The title compds. [I; R1 = H, C1-6 alkyl, (CH2)nW; R2 = C1-6 alkyl; R3 = C1-6 alkyl, (CH2)nZ; W, Z = furyl, thienyl, (substituted) Ph; n, r = 1-3], useful as ulcer inhibitors, were prepared N,N-Dimethyl-5-(2-bromoacetyl)furan-2-carboxamide (preparation given) and guanylthiourea were stirred 3 days 4 h in acetone to give 2-guanidino-4-[5-(N,N-dimethylcarbamoyl)-2-furyl]thiazole. The latter in THF was treated with B2H6 in THF followed by stirring for 5 h to give 2-guanidino-4-[5-(N,N-dimethylaminomethyl)-2-furyl]thiazole. At 30 mg/kg orally in rats, I gave 1-93% inhibition of EtOH-induced ulcer in rats.

MSTR 1 Assembled



G4 = (1-3) CH2
G6 = 35 / 36 / 37

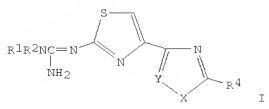


L9 ANSWER 29 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 104:168456 MARPAT
TITLE: 2-(N-Substituted-guanidino)-4-heteroarylthiazole antiulcer agents
INVENTOR(S): Reiter, Lawrence Alan
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Eur. Pat. Appl., 66 pp. CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

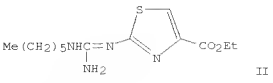
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 161841	A1	19851121	EP 1985-302844	19850424
EP 161841	B1	19890719		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4560690	A	19851224	US 1984-605510	19840430
IN 165501	A1	19891104	IN 1985-DE244	19850322
AT 44741	T	19890815	AT 1985-302844	19850424
CS 248741	B2	19870212	CS 1985-3042	19850425
CS 248750	B2	19870212	CS 1985-7163	19850425
DD 233374	A5	19860226	DD 1985-275638	19850426
PL 145213	B1	19880831	PL 1985-253107	19850426
PL 146070	B1	19881231	PL 1985-257845	19850426
CA 1262352	A1	19891017	CA 1985-480150	19850426
CN 85103265	A	19861210	CN 1985-103265	19850427
CN 1012365	B	19910417		
DK 8501908	A	19851031	DK 1985-1908	19850429
DK 165693	B	19930104		
DK 165693	C	19930607		
FI 8501683	A	19851031	FI 1985-1683	19850429
FI 81096	B	19900531		
FI 81096	C	19900910		
NO 8501695	A	19851031	NO 1985-1695	19850429
NO 164097	B	19900521		
NO 164097	C	19900829		
AU 8541790	A	19851107	AU 1985-41790	19850429
AU 554271	B2	19860814		
HU 37787	A2	19860228	HU 1985-1646	19850429
HU 198300	B	19890928		
ES 542703	A1	19860316	ES 1985-542703	19850429
ZA 8503161	A	19861230	ZA 1985-3161	19850429
SU 1380614	A3	19880307	SU 1985-3884505	19850429
IL 75038	A	19880731	IL 1985-75038	19850429
JP 60239474	A	19851128	JP 1985-93524	19850430
JP 63016387	B	19880408		
ES 548073	A1	19860401	ES 1985-548073	19851021
SU 1400508	A3	19880530	SU 1986-4027210	19860402
IN 173937	A1	19940813	IN 1987-DE939	19871027
PRIORITY APPLN. INFO.:			US 1984-605510	19840430
			IN 1985-DE244	19850322
			EP 1985-302844	19850424

OTHER SOURCE(S): CASREACT 104:168456
GRAPHIC IMAGE:

L9 ANSWER 29 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)



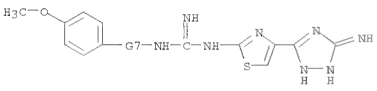
I



II

ABSTRACT:
The title compds. [I: R1 = alkyl, R32C6H3, R5(CH2)n; R2 = H, alkyl; R4 = H, alkyl, HOCH2, NH2; R3 = H, alkoxycarbonyl, alkanoyl, Br, Cl, F, iodo, Me, MeO, NO2, NH2, OH, cyano; R5 = (un)substituted Ph, naphthyl, furyl, thienyl, pyridyl, pyrimidinyl, thiazolyl, imidazolyl; X = NH, Y = CH, N; X = S, Y = CH; n = 1-4] were prepared Thus, hexylamine-HCl was condensed with HN(CN)2 to give Me(CH2)5NHC(NH2):NCN which was treated with H2S to give Me(CH2)5NHC(NH2):NCSNH2. The latter was cyclocondensed with BrCH2COCO2Et to give thiazolecarboxylate II. This was converted to its hydrazide and cyclocondensed with MeCSNH2 to give I (R1 = hexyl, R2 = H, R4 = Me, X = NH, Y = N). Selected I are histamine H2-receptor antagonists with pA2 ≥ 6.9 in guinea pig atria tissue; in rats at 30 mg/kg orally, I gave ≥77% inhibition of EtOH-induced ulcers.

MSTR 1 Assembled

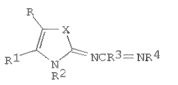


G7 = (1-3) CH2
Patent location: claims
Note: record may include structures from disclosure

L9 ANSWER 30 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 94:156917 MARPAT
TITLE: Oxazoline and thiazoline derivatives and pharmaceutical compositions containing them
INVENTOR(S): Cantello, Barrie Christian Charles
PATENT ASSIGNEE(S): Beecham Group Ltd., UK
SOURCE: Eur. Pat. Appl., 32 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 18107	A1	19801029	EP 1980-300949	19800327
EP 18107	B1	19821222		
R: AT, BE, CH, DE, FR, GB, IT, NL, SE				
AT 2075	T	19830115	AT 1980-300949	19800327
ZA 8001970	A	19810429	ZA 1980-1970	19800402
US 4409216	A	19831011	US 1980-139284	19800411
DK 8001675	A	19801021	DK 1980-1675	19800418
FI 8001253	A	19801021	FI 1980-1253	19800418
NO 8001131	A	19801021	NO 1980-1131	19800418
AU 8057588	A	19801023	AU 1980-57588	19800418
JP 55141474	A	19801105	JP 1980-51558	19800418
PRIORITY APPLN. INFO.:			GB 1979-13864	19790420
			EP 1980-300949	19800327

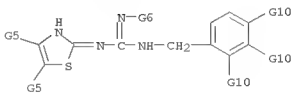
GRAPHIC IMAGE:



I

ABSTRACT:
Guanidines I [X = O, S; R, R1 = H, halo, alkyl, Ph, CH2Ph, cycloalkyl, alkoxycarbonyl, carboxy; RR1 = CH:CHCH:CH; R2 = H, alkyl, Ph, CH2Ph; R3 = amino; R4 = alkyl, (un)substituted Ph, CH2Ph] were prepared Thus, treating 2-imino-3-methyl-4-thiazoline-HI with PhNCS gave a thiourea which was S-methylated and then treated with pyrrolidine to give I (X = S, R = R1 = H, R2 = Me, R3 = pyrrolidino, R4 = Ph) which at 0.5 mmole/kg orally in mice decreased the blood glucose concentration from 5.95 to 3.24 mmole/L in 60 min.

MSTR 2 Assembled



L9 ANSWER 30 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)

G10 = alkoxy <containing 1-6 C>
Patent location: claims
Note: record may include structures from disclosure

L9 ANSWER 31 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 94:103347 MARPAT
TITLE: Heterocyclic carboxamidine compounds, pharmaceutical compositions containing them, and intermediates
INVENTOR(S): Cantello, Barrie Christian Charles
PATENT ASSIGNEE(S): Beecham Group Ltd., UK
SOURCE: Eur. Pat. Appl., 36 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 11963	A1	19800611	EP 1979-302564	19791114
EP 11963	B1	19820714		
R: AT, BE, CH, DE, FR, GB, IT, NL, SE				
AU 7952637	A	19800529	AU 1979-52637	19791108
ZA 7906075	A	19801029	ZA 1979-6075	19791112
US 4250173	A	19810210	US 1979-94100	19791114
AT 1339	T	19820715	AT 1979-302564	19791114
DK 7904859	A	19800530	DK 1979-4859	19791115
JP 55073671	A	19800603	JP 1979-154941	19791129
NO 8000697	A	19801117	NO 1980-697	19800311
US 4282356	A	19810804	US 1980-158212	19800610
PRIORITY APPLN. INFO.:			GB 1978-46531	19781129
			GB 1979-15737	19790505
			EP 1979-302564	19791114
			US 1979-94100	19791114

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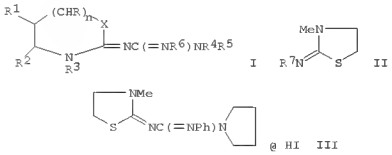


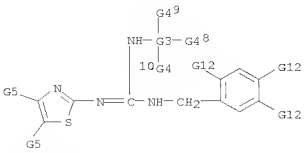
I II

@ HI III

ABSTRACT:
Carboxamidines I (X = O, S; R, R4 = H, alkyl; R1, R2 = H, alkyl, Ph, CH2Ph, cycloalkyl; R3 = H, alkyl, Ph, CH2Ph; R5 = alkyl, optionally substituted Ph; NR4R5 = heterocyclyl; R6 = optionally substituted Ph; n = 0,1) were prepared Thus II.HI (R7 = H) was treated with PhNCS to give II (R7 = CSNHPh) which was S-methylated and treated with pyrrolidine to give III. At 0.25 mmol/kg orally in mice III decreased the blood glucose concentration from 5.47 to 3.25 mmol/L.

MSTR 1 Assembled

L9 ANSWER 31 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)



G12 = alkoxy <containing 1-6 C>
Patent location: claims
Note: record may include structures from disclosure

L9 ANSWER 32 OF 32 MARPAT COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 91:107909 MARPAT
TITLE: N-4-Quinolylguanidines
INVENTOR(S): Rachlin, Schneur; Arrigoni-Martelli, Edoardo
PATENT ASSIGNEE(S): Loevens Kemiske Fabrik Produktionsaktieselskab, Den.
SOURCE: Ger. Offen., 54 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2847792	A1	19790510	DE 1978-2847792	19781103
DE 2847792	C2	19880204		
US 4293549	A	19811006	US 1978-955228	19781027
AT 7807732	A	19810815	AT 1978-7732	19781030
AT 366368	B	19820413		
CA 1120929	A1	19820330	CA 1978-315384	19781031
FI 7803327	A	19790508	FI 1978-3327	19781101
FI 69463	B	19851031		
FI 69463	C	19860210		
ZA 7806175	A	19791031	ZA 1978-6175	19781102
CH 645354	A5	19840928	CH 1978-11363	19781103
SU 828967	A3	19810507	SU 1978-2685598	19781104
BE 871807	A1	19790507	BE 1978-191566	19781106
DK 7804933	A	19790508	DK 1978-4933	19781106
DK 153950	B	19880926		
DK 153950	C	19890220		
SE 7811457	A	19790508	SE 1978-11457	19781106
SE 446267	B	19860825		
SE 446267	C	19861204		
NL 7811035	A	19790509	NL 1978-11035	19781106
AU 7841376	A	19790517	AU 1978-41376	19781106
AU 517670	B2	19810820		
FR 2407930	A1	19790601	FR 1978-31339	19781106
FR 2407930	B1	19830318		
JP 63048873	B	19880930	JP 1978-137235	19781106
GB 2009155	A	19790613	GB 1978-43540	19781107
GB 2009155	B	19820721		
ES 474900	A1	19800416	ES 1978-474900	19781107

PRIORITY APPLN. INFO.: GB 1977-46166 19771107
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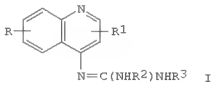
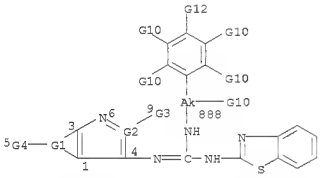


I

ABSTRACT:
Tautomeric guanidines I (R = H, C1-6 alkyl or alkoxy, OH, halogen, CF3; R1 = R, Ph; R2 = optionally substituted C1-18 alkyl, C3-8 cycloalkyl, Ph, or phenylalkyl; R3 = optionally substituted N heterocycle) and their salts and acyl derivs. were prepared for use in treatment of rheumatoid arthritis (test

L9 ANSWER 32 OF 32 MARPAT COPYRIGHT 2011 ACS on STN (Continued)
data tabulated). Thus, N-cyclohexyl-N'-(2-methyl-4-quinolyl)urea reacted with PPh3 in CCl4/Et3N to give N-cyclohexyl-N'-(2-methyl-4-quinolyl)carbodiimide, which reacted with 2-aminothiazole to give I (R = H, R1 = 2-Me, R2 = cyclohexyl, R3 = 2-thiazolyl).

MSTR 1 Assembled



888: carbon chain <containing 1-3 C, saturated>
G10 = OMe
Patent location: claims
Note: record may include structures from disclosure

```
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FILE 'CAPLUS' ENTERED AT 10:13:15 ON 19 SEP 2011
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FILE COVERS 1907 - 19 Sep 2011  VOL 155 ISS 13
FILE LAST UPDATED: 18 Sep 2011  (20110918/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Jun 2011
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Jun 2011

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2011.

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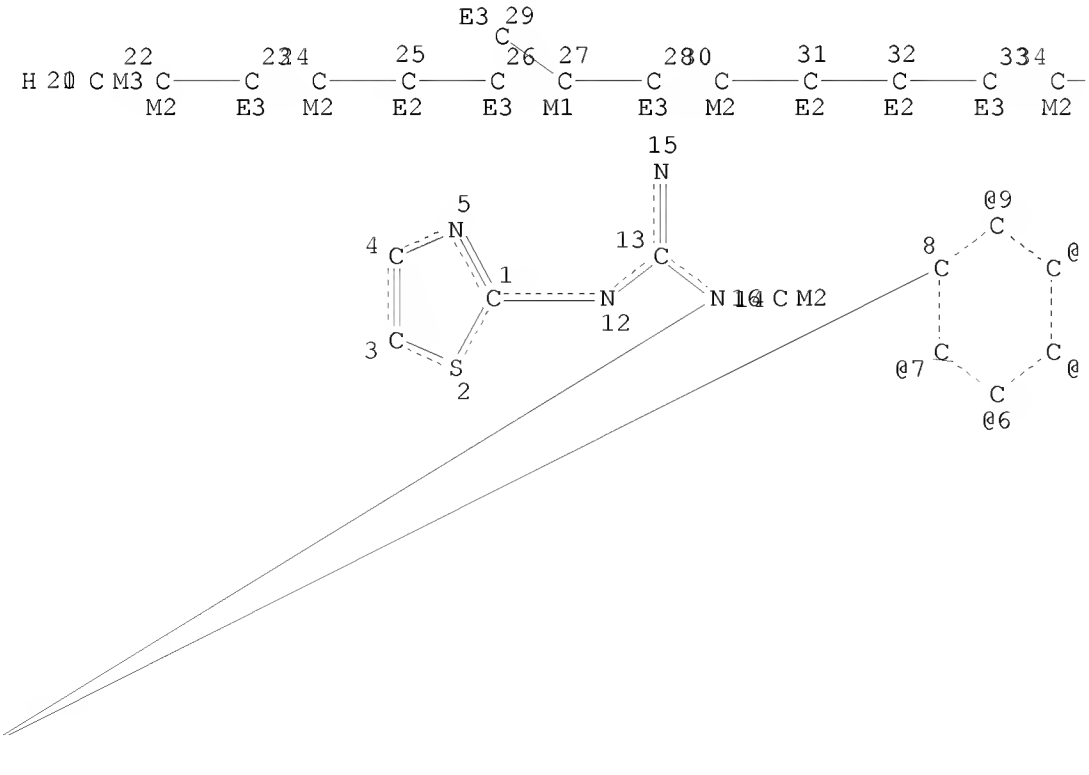

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L4            176 SEA ABB=ON  PLU=ON  L3 AND CAPLUS/LC
L5             69 SEA ABB=ON  PLU=ON  L3 NOT L4
L6             19 SEA ABB=ON  PLU=ON  L5 AND ED<2/15/2005
                D 1-19 IDE CAN

     FILE 'CAPLUS' ENTERED AT 10:09:26 ON 19 SEP 2011
L7             15 SEA ABB=ON  PLU=ON  L3
                D 1-15 IBIB IABS HITSTR

     FILE 'MARPAT' ENTERED AT 10:11:40 ON 19 SEP 2011
L8              3 SEA SSS SAM L1
                D SCAN
L9             32 SEA SSS FUL L1
                D QUE L9 STAT
                D 1-32 IBIB IABS FQHIT

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                D L1


     FILE HOME

     FILE REGISTRY
     Property values tagged with IC are from the ZIC/VINITI data file
     provided by InfoChem.

     STRUCTURE FILE UPDATES:   18 SEP 2011  HIGHEST RN 1332694-13-9
     DICTIONARY FILE UPDATES:  18 SEP 2011  HIGHEST RN 1332694-13-9
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FILE MARPAT
FILE CONTENT: 1961-PRESENT VOL 155 ISS 13 (20110918/ED)

MARPAT RECORDS FOR 1961-1987 ARE DERIVED FROM INPI DATA

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 20110196176 11 AUG 2011
DE 102010006884 04 AUG 2011
EP 2348120 27 JUL 2011
JP 2011155180 11 AUG 2011
WO 2011102668 25 AUG 2011
GB 2475359 18 MAY 2011
FR 2955860 05 AUG 2011
RU 2425038 27 JUL 2011
CA 2730618 03 AUG 2011